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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	OCT 02	CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 3	OCT 19	BEILSTEIN updated with new compounds
NEWS 4	NOV 15	Derwent Indian patent publication number format enhanced
NEWS 5	NOV 19	WPIX enhanced with XML display format
NEWS 6	NOV 30	ICSD reloaded with enhancements
NEWS 7	DEC 04	LINPADOCDB now available on STN
NEWS 8	DEC 14	BEILSTEIN pricing structure to change
NEWS 9	DEC 17	USPATOLD added to additional database clusters
NEWS 10	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS 11	DEC 17	DGENE now includes more than 10 million sequences
NEWS 12	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS 13	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 14	DEC 17	CA/CAplus enhanced with new custom IPC display formats
NEWS 15	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS 16	JAN 02	STN pricing information for 2008 now available
NEWS 17	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 18	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 19	JAN 28	MARPAT searching enhanced
NEWS 20	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS 21	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 22	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS 23	FEB 08	STN Express, Version 8.3, now available
NEWS 24	FEB 20	PCI now available as a replacement to DPCI
NEWS 25	FEB 25	IFIREF reloaded with enhancements
NEWS 26	FEB 25	IMSPRODUCT reloaded with enhancements

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

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=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

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FILE COVERS 1907 - 28 Feb 2008  VOL 148 ISS 9
FILE LAST UPDATED: 27 Feb 2008  (20080227/ED)

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http://www.cas.org/infopolicy.html

=> e zolmitriptan
E1            1     ZOLMITRIPAN/BI
E2            1     ZOLMITRIPRAN/BI
E3          486 --> ZOLMITRIPTAN/BI
E4            1     ZOLMYUN/BI
E5            1     ZOLNAI/BI
E6            1     ZOLNENSK/BI
E7            1     ZOLNENSKII/BI
E8            1     ZOLNER/BI
E9            4     ZOLNEROWICH/BI
E10           2     ZOLNYI/BI
E11           5     ZOLO/BI
E12           1     ZOLOACRIDINE/BI

=> s e3
L1          486 ZOLMITRIPTAN/BI

=> s l1 and crystalline
         82175 CRYSTALLINE
L2           1 L1 AND CRYSTALLINE

=> s l1 and crystal
       1366911 CRYSTAL
L3          10 L1 AND CRYSTAL
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=> s l1 and polymorph
          8478 POLYMORPH
L4           0 L1 AND POLYMORPH

=> e N-desmethylzolmitriptan
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E2     3143234     N/BI
E3           0 --> N-DESMETHYLZOLMITRIPTAN/BI
E4        5571     N0/BI
E5          71     N00/BI
E6          14     N000/BI
E7           3     N0000/BI
E8           1     N0001/BI
E9          29     N00014/BI
E10          1     N0001496C0145/BI
E11          1     N0001498/BI
E12          1     N00015/BI

=> e zolmitriptan/cn
   REGlstRY INITIATED
Substance data EXPAND from CAS REGISTRY in progress...



E1           1     ZOLITHO 40/CN
E2           1     ZOLLER HELOS PB/CN
E3           1 --> ZOLMITRIPTAN/CN
E4           1     ZOLOF/CN
E5           1     ZOLOFT/CN
E6           1     ZOLON FR/CN
E7           1     ZOLON RED/CN
E8           1     ZOLON RED, AG DERIV./CN
E9           1     ZOLONE/CN
E10          1     ZOLONE 35EC/CN
E11          1     ZOLONE DT/CN
E12          1     ZOLONE FLO/CN


=> s e3
   REGlstRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.




L6         452 L5

=> d his

     (FILE 'HOME' ENTERED AT 14:08:46 ON 28 FEB 2008)

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               E ZOLMITRIPTAN
L1           486 S E3
L2             1 S L1 AND CRYSTALLINE
L3            10 S L1 AND CRYSTAL
L4             0 S L1 AND POLYMORPH
               E N-DESMETHYLZOLMITRIPTAN
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     FILE 'REGISTRY' ENTERED AT 14:12:00 ON 28 FEB 2008
                  E ZOLMITRIPTAN/CN

     FILE 'CAPLUS' ENTERED AT 14:12:00 ON 28 FEB 2008
                  S E3

     FILE 'REGISTRY' ENTERED AT 14:12:06 ON 28 FEB 2008
L5             1 S E3/CN

     FILE 'CAPLUS' ENTERED AT 14:12:06 ON 28 FEB 2008
L6           452 S L5

=> s l6 and crystall#######
        530011 CRYSTALL#######
L7             2 L6 AND CRYSTALL#######

=> d l7

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AN   2006:494307  CAPLUS
DN   144:488639
TI   Preparation of zolmitriptan crystal forms
IN   Izsak, Reuven; Lerman, Ori; Koltai, Tamas; Aronhime, Judith; Pinchasov,
     Michael; Eisen-Nevo, Hagit
PA   Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals Usa,
     Inc.
SO   PCT Int. Appl., 54 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
             MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
             VN, YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
     US 2006211751       A1     20060921    US 2005-284773         20051121
     EP 1812428          A2     20070801    EP 2005-852062         20051121
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             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
             BA, HR, MK, YU
     US 2006241158       A1     20061026    US 2006-471364         20060619
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     US 2006241160       A1     20061026    US 2006-471367         20060619
PRAI US 2004-629649P     P      20041119
     US 2004-631916P     P      20041130
     US 2005-681672P     P      20050516
     US 2005-697001P     P      20050705
     US 2005-714145P     P      20050901
     US 2005-284773      A3     20051121
     WO 2005-US42430     W      20051121
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=> d 17 2

L7   ANSWER 2 OF 2  CAPLUS  COPYRIGHT 2008 ACS on STN
AN   2005:823699  CAPLUS
DN   143:216706
TI   Crystalline forms of zolmitriptan
IN   Van Der Schaaf, Paul Adriaan; Blatter, Fritz; Szelagiewicz, Martin;
     Berens, Ulrich; De Paul, Susan
PA   Ciba Specialty Chemicals Holding Inc., Switz.
SO   PCT Int. Appl., 33 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   WO 2005075467        A2    20050818    WO 2005-EP50362        20050128
     WO 2005075467        A3    20051201
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             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
     EP 1711493           A2    20061018    EP 2005-707878         20050128
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS
     US 2007173536        A1    20070726    US 2006-588176         20060802
     IN 2006CN02863       A     20070706    IN 2006-CN2863         20060804
PRAI EP 2004-100452       A     20040206
     US 2004-543107P      P     20040209
     WO 2005-EP50362      W     20050128


=> d his

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     FILE 'CAPLUS' ENTERED AT 14:09:03 ON 28 FEB 2008
               E ZOLMITRIPTAN
L1          486 S E3
L2            1 S L1 AND CRYSTALLINE
L3           10 S L1 AND CRYSTAL
L4            0 S L1 AND POLYMORPH
               E N-DESMETHYLZOLMITRIPTAN

     FILE 'REGISTRY' ENTERED AT 14:12:00 ON 28 FEB 2008
               E ZOLMITRIPTAN/CN

     FILE 'CAPLUS' ENTERED AT 14:12:00 ON 28 FEB 2008
               S E3

     FILE 'REGISTRY' ENTERED AT 14:12:06 ON 28 FEB 2008
L5            1 S E3/CN
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     FILE 'CAPLUS' ENTERED AT 14:12:06 ON 28 FEB 2008
L6           452 S L5
L7             2 S L6 AND CRYSTALL#######

=> s l6 and polymorph####
        203288 POLYMORPH####
L8             4 L6 AND POLYMORPH####

=> d l8 full
'FULL' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

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ABS --------- GI and AB
ALL --------- BIB, AB, IND, RE
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CBIB -------- AN, plus Compressed Bibliographic Data
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DMAX -------- MAX, delimited for post-processing
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FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
              e.g., D SCAN or DISPLAY SCAN)
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IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

HIT --------- Fields containing hit terms
HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT)
              containing hit terms
HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and
              its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
              its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
KWIC -------- Hit term plus 20 words on either side
OCC --------- Number of occurrence of hit term and field in which it occurs

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E ZOLMITRIPTAN
L1 486 S E3
L2 1 S L1 AND CRYSTALLINE
L3 10 S L1 AND CRYSTAL
L4 0 S L1 AND POLYMORPH
E N-DESMETHYLZOLMITRIPTAN

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E ZOLMITRIPTAN/CN

FILE 'CAPLUS' ENTERED AT 14:12:00 ON 28 FEB 2008
S E3

FILE 'REGISTRY' ENTERED AT 14:12:06 ON 28 FEB 2008
L5 1 S E3/CN

FILE 'CAPLUS' ENTERED AT 14:12:06 ON 28 FEB 2008
L6 452 S L5
L7 2 S L6 AND CRYSTALL#######
L8 4 S L6 AND POLYMORPH####

=> d l8 1-4

L8 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:657168 CAPLUS
DN 145:110209
TI Zolmitriptan polymorphs
IN Sundaram, Venkataraman; Koilkonda, Purandhar; Lekkala, Amarnath Reddy; Kotagiri, Vijaykumar; Suthrapu, Sashikanth; Golla, Kondaiah China Mala
PA India
SO U.S. Pat. Appl. Publ., 8 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2006148868	A1	20060706	US 2005-284729	20051122
PRAI	US 2004-630285P	P	20041123		
	IN 2005-CH226	A	20050308		
	US 2005-673141P	P	20050420		

L8 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:494307 CAPLUS
DN 144:488639
TI Preparation of zolmitriptan crystal forms

IN Izsak, Reuven; Lerman, Ori; Koltai, Tamas; Aronhime, Judith; Pinchasov, Michael; Eisen-Nevo, Hagit
PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals Usa, Inc.
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PRAI	US 2004-629649P	P	20041119		
	US 2004-631916P	P	20041130		
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	US 2005-714145P	P	20050901		
	US 2005-284773	A3	20051121		
	WO 2005-US42430	W	20051121		

L8 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:823699 CAPLUS
DN 143:216706
TI Crystalline forms of zolmitriptan
IN Van Der Schaaf, Paul Adriaan; Blatter, Fritz; Szelagiewicz, Martin; Berens, Ulrich; De Paul, Susan
PA Ciba Specialty Chemicals Holding Inc., Switz.
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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PRAI EP 2004-100452      A     20040206
     US 2004-543107P     P     20040209
     WO 2005-EP50362     W     20050128

L8   ANSWER 4 OF 4  CAPLUS  COPYRIGHT 2008 ACS on STN
AN   2001:781179  CAPLUS
DN   135:327349
TI   Genetic diagnosis for QT interval prolongation related to adverse drug
     reactions
IN   Woosley, Raymond L.
PA   Georgetown University, USA
SO   PCT Int. Appl., 77 pp.
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DT   Patent
LA   English
FAN.CNT 1
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     EP 1290220          B1     20071219
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI, CY, TR
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     US 2003211500       A1     20031113    US 2003-257573         20030326
     US 7179597          B2     20070220
PRAI US 2000-196916P     P      20000413
     WO 2001-US12087     W      20010413
RE.CNT  8     THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> FIL STNGUIDE
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    14.38      32.02

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LAST RELOADED: Feb 22, 2008 (20080222/UP).

=> log h
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.30      32.32
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 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 14:18:34 ON 28 FEB 2008

Connecting via Winsock to STN



Welcome to STN International!  Enter x:X

LOGINID:ssptasxs1626

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'STNGUIDE' AT 16:12:13 ON 28 FEB 2008
FILE 'STNGUIDE' ENTERED AT 16:12:13 ON 28 FEB 2008
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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.30      32.32

=> d his

     (FILE 'HOME' ENTERED AT 14:08:46 ON 28 FEB 2008)

     FILE 'CAPLUS' ENTERED AT 14:09:03 ON 28 FEB 2008
                E ZOLMITRIPTAN
L1          486 S E3
L2            1 S L1 AND CRYSTALLINE
L3           10 S L1 AND CRYSTAL
L4            0 S L1 AND POLYMORPH
                E N-DESMETHYLZOLMITRIPTAN

     FILE 'REGISTRY' ENTERED AT 14:12:00 ON 28 FEB 2008
                E ZOLMITRIPTAN/CN

     FILE 'CAPLUS' ENTERED AT 14:12:00 ON 28 FEB 2008
                S E3

     FILE 'REGISTRY' ENTERED AT 14:12:06 ON 28 FEB 2008
L5            1 S E3/CN

     FILE 'CAPLUS' ENTERED AT 14:12:06 ON 28 FEB 2008
L6          452 S L5
L7            2 S L6 AND CRYSTALL#######
L8            4 S L6 AND POLYMORPH####

     FILE 'STNGUIDE' ENTERED AT 14:15:41 ON 28 FEB 2008

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.36      32.38

FILE 'REGISTRY' ENTERED AT 16:12:49 ON 28 FEB 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.
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STRUCTURE FILE UPDATES: 27 FEB 2008 HIGHEST RN 1005551-32-5
DICTIONARY FILE UPDATES: 27 FEB 2008 HIGHEST RN 1005551-32-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

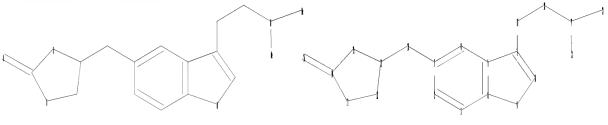
http://www.cas.org/support/stngen/stndoc/properties.html

=> s 4(S)-[3-[2-(dimethylamino)ethyl]-1H-indol-5-ylmethyl]oxazolidin-2-one
MISSING OPERATOR '-[3-[2-(DIMETHYLAM'

=> s 4(S)-[3-[2-(dimethylamino)ethyl]-1H-indol-5-ylmethyl]oxazolidin-2-one/CN
MISSING OPERATOR '-[3-[2-(DIMETHYLAM'

=> s "4(S)-[3-[2-(dimethylamino)ethyl]-1H-indol-5-ylmethyl]oxazolidin-2-one"/CN
L9   0 "4(S)-[3-[2-(DIMETHYLAMINO)ETHYL]-1H-INDOL-5-YLMETHYL]OXAZOLIDIN
                 -2-ONE"/CN

=>
Uploading C:\Documents and Settings\sshterengarts\My Documents\Sam's Documents\STN
STRUCTURES\zolmitriptan.str



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10 16 17 18 19 20 21
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15
chain bonds :
3-10 7-17 10-11 14-16 17-18 18-19 19-20 19-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 11-12 11-15 12-13 13-14 14-15

exact/norm bonds :
6-9 8-9 11-15 14-15 14-16 18-19
exact bonds :
3-10 5-7 7-8 7-17 10-11 11-12 12-13 13-14 17-18 19-20 19-21
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 11 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS
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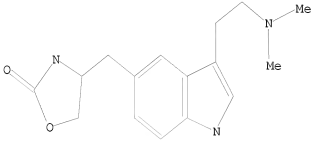
L10   STRUCTURE UPLOADED

=> d l10
L10 HAS NO ANSWERS
L10   STR



Structure attributes must be viewed using STN Express query preparation.

=> s l10 exact sam
SAMPLE SEARCH INITIATED 16:19:11 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   1 TO ITERATE

100.0% PROCESSED   1 ITERATIONS   1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 1 TO   80
PROJECTED ANSWERS: 1 TO   80

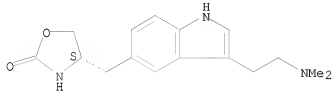
L11   1 SEA EXA SAM L10

=> d l11

L11 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN
RN   139264-17-8 REGISTRY
ED   Entered STN: 28 Feb 1992
CN   2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-,
     (4S)- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN   2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-,
     (S)-
OTHER NAMES:
CN   (4S)-4-[[3-[2-(Dimethylamino)ethyl]-1H-indol-5-yl]methyl]oxazolidin-2-one
CN   (S)-4-[[3-[2-(Dimethylamino)ethyl]-1H-indol-5-yl]methyl]-2-oxazolidinone
CN   311C90
CN   Asco Top
CN   BW 311C90
CN   Zolmitriptan
CN   Zomig
FS   STEREOSEARCH
MF   C16 H21 N3 O2

CI COM
SR CA
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CIN, DDFU, DRUGU, EMBASE, IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS, IMSPRODUCT, IMSRESEARCH, IPA, MEDLINE, MRCK*, PATDPASPC, PHAR, PROMT, PROUSDDR, PS, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

449 REFERENCES IN FILE CA (1907 TO DATE)
7 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
452 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	12.67	45.05

FILE 'CAPLUS' ENTERED AT 16:19:44 ON 28 FEB 2008
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FILE COVERS 1907 - 28 Feb 2008 VOL 148 ISS 9
FILE LAST UPDATED: 27 Feb 2008 (20080227/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

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(FILE 'HOME' ENTERED AT 14:08:46 ON 28 FEB 2008)

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                E ZOLMITRIPTAN
L1          486 S E3
L2            1 S L1 AND CRYSTALLINE
L3           10 S L1 AND CRYSTAL
L4            0 S L1 AND POLYMORPH
                E N-DESMETHYLZOLMITRIPTAN

     FILE 'REGISTRY' ENTERED AT 14:12:00 ON 28 FEB 2008
                E ZOLMITRIPTAN/CN

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                S E3

     FILE 'REGISTRY' ENTERED AT 14:12:06 ON 28 FEB 2008
L5            1 S E3/CN

     FILE 'CAPLUS' ENTERED AT 14:12:06 ON 28 FEB 2008
L6          452 S L5
L7            2 S L6 AND CRYSTALL#######
L8            4 S L6 AND POLYMORPH####

     FILE 'STNGUIDE' ENTERED AT 14:15:41 ON 28 FEB 2008

     FILE 'REGISTRY' ENTERED AT 16:12:49 ON 28 FEB 2008
L9            0 S "4(S)-[3-[2-(DIMETHYLAMINO)ETHYL]-1H-INDOL-5-YLMETHYL]OXAZOLI
L10             STRUCTURE UPLOADED
L11           1 S L10 EXACT SAM

     FILE 'CAPLUS' ENTERED AT 16:19:44 ON 28 FEB 2008

=> s l11
L12         452 L11

=> d l12 452 ibib hitstr abs

L12  ANSWER 452 OF 452  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         1992:174136  CAPLUS
DOCUMENT NUMBER:          116:174136
TITLE:                    Preparation of [(oxazolidinonylalkyl)indolyl]ethylamin
                          es and related compounds as serotonin agonists
INVENTOR(S):              Robertson, Alan Duncan; Hill, Alan Peter; Glen, Robert
                          Charles; Martin, Graeme Richard
PATENT ASSIGNEE(S):       Wellcome Foundation Ltd., UK
SOURCE:                   PCT Int. Appl., 75 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

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     WO 9118897           A1    19911212    WO 1991-GB908          19910606
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         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE
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     CA 2064815           C     19991116
     AU 9179570           A     19911231    AU 1991-79570          19910606
     AU 646871            B2    19940310
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US 5399574		A	19950321	US 1992-838233		19920303
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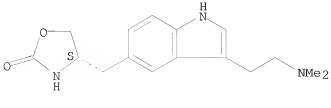
OTHER SOURCE(S): CASREACT 116:174136; MARPAT 116:174136

IT 139264-17-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serotonin agonist)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



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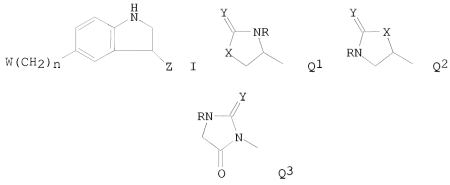

AB Title compds. I [n = 0-3; W = Q1-Q3; R, R1, R2 = H, C1-4 alkyl; X = O, S, NH, CH2; Y = O, S; Z = CH2CH2NR1R2, Q; Q = 4-piperidyl, 1,2,3,6-tetrahydropyridin-4-yl, 1-C1-4 alkyl-4-piperidyl, 1-C1-4 alkyl-1,2,3,6-tetrahydropyridin-4-yl] were prepared as 5-HT1-like receptor agonists for the treatment of migraines. Thus S-4-(4-nitrobenzyl)-1,3-oxazolidin-2-one (preparation given) was hydrogenated over Pd/C and the product formed was diazotized in the presence of SnCl2 to give the 4-(4-hydrazinobenzyl) derivative This was cyclocondensed with Cl(CH2)3CH(OMe)2 and the resulting (indolyl)ethylamine derivative was di-N-methylated by H2CO/NaCNBH3 to give (S)-I [W = Q1; R = H, X, Y = O; n = 1; Z = CH2CH2NMe2] (II). II had p[A50] of 7.0 for mediating smooth muscle contraction where [A50] is the concentration necessary for half-maximal effect. II.HCl orally at 50 mg/kg/day for 15 days was not toxic to cynomolgus monkeys. Formulations of I were prepared

=> FIL STNGUIDE

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	6.89	51.94
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.80	-0.80

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb 22, 2008 (20080222/UP).

=> log h

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.36	52.30
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.80

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 16:24:42 ON 28 FEB 2008

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Connecting via Winsock to STN



Welcome to STN International!  Enter x:X

LOGINID:ssptasxs1626

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'STNGUIDE' AT 16:58:48 ON 28 FEB 2008
FILE 'STNGUIDE' ENTERED AT 16:58:48 ON 28 FEB 2008
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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.36      52.30

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                       0.00      -0.80

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     (FILE 'HOME' ENTERED AT 14:08:46 ON 28 FEB 2008)

     FILE 'CAPLUS' ENTERED AT 14:09:03 ON 28 FEB 2008
                E ZOLMITRIPTAN
L1          486 S E3
L2            1 S L1 AND CRYSTALLINE
L3           10 S L1 AND CRYSTAL
L4            0 S L1 AND POLYMORPH
                E N-DESMETHYLZOLMITRIPTAN

     FILE 'REGISTRY' ENTERED AT 14:12:00 ON 28 FEB 2008
                E ZOLMITRIPTAN/CN

     FILE 'CAPLUS' ENTERED AT 14:12:00 ON 28 FEB 2008
                S E3

     FILE 'REGISTRY' ENTERED AT 14:12:06 ON 28 FEB 2008
L5            1 S E3/CN

     FILE 'CAPLUS' ENTERED AT 14:12:06 ON 28 FEB 2008
L6          452 S L5
L7            2 S L6 AND CRYSTALL#######
L8            4 S L6 AND POLYMORPH####

     FILE 'STNGUIDE' ENTERED AT 14:15:41 ON 28 FEB 2008

     FILE 'REGISTRY' ENTERED AT 16:12:49 ON 28 FEB 2008
L9            0 S "4(S)-[3-[2-(DIMETHYLAMINO)ETHYL]-1H-INDOL-5-YLMETHYL]OXAZOLI
L10             STRUCTURE UPLOADED
L11           1 S L10 EXACT SAM

     FILE 'CAPLUS' ENTERED AT 16:19:44 ON 28 FEB 2008
L12         452 S L11

     FILE 'STNGUIDE' ENTERED AT 16:21:17 ON 28 FEB 2008
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YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y



L12  ANSWER 452 OF 452  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         1992:174136  CAPLUS
DOCUMENT NUMBER:          116:174136
TITLE:                    Preparation of [(oxazolidinonylalkyl)indolyl]ethylamin
                          es and related compounds as serotonin agonists
INVENTOR(S):              Robertson, Alan Duncan; Hill, Alan Peter; Glen, Robert
                          Charles; Martin, Graeme Richard
PATENT ASSIGNEE(S):       Wellcome Foundation Ltd., UK
SOURCE:                   PCT Int. Appl., 75 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

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     WO 9118897          A1     19911212    WO 1991-GB908          19910606
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         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE
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OTHER SOURCE(S): CASREACT 116:174136; MARPAT 116:174136

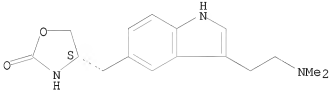
IT 139264-17-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serotonin agonist)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



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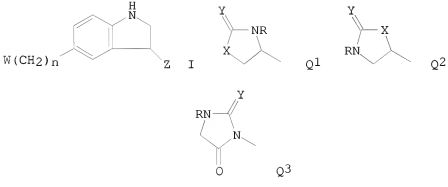

AB Title compds. I [n = 0-3; W = Q1-Q3; R, R1, R2 = H, C1-4 alkyl; X = O, S, NH, CH2; Y = O, S; Z = CH2CH2NR1R2, Q; Q = 4-piperidyl, 1,2,3,6-tetrahydropyridin-4-yl, 1-C1-4 alkyl-4-piperidyl, 1-C1-4 alkyl-1,2,3,6-tetrahydropyridin-4-yl] were prepared as 5-HT1-like receptor agonists for the treatment of migraines. Thus S-4-(4-nitrobenzyl)-1,3-oxazolidin-2-one (preparation given) was hydrogenated over Pd/C and the product formed was diazotized in the presence of SnCl2 to give the 4-(4-hydrazinobenzyl) derivative This was cyclocondensed with Cl(CH2)3CH(OMe)2 and the resulting (indolyl)ethylamine derivative was di-N-methylated by H2CO/NaCNBH3 to give (S)-I [W = Q1; R = H, X, Y = O; n = 1; Z = CH2CH2NMe2] (II). II had p[A50] of 7.0 for mediating smooth muscle contraction where [A50] is the concentration necessary for half-maximal

effect. II.HCl orally at 50 mg/kg/day for 15 days was not toxic to cynomolgus monkeys. Formulations of I were prepared

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L12 ANSWER 452 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	1992:174136 CAPLUS
DOCUMENT NUMBER:	116:174136
TITLE:	Preparation of [(oxazolidinonylalkyl)indolyl]ethylamines and related compounds as serotonin agonists
INVENTOR(S):	Robertson, Alan Duncan; Hill, Alan Peter; Glen, Robert Charles; Martin, Graeme Richard
PATENT ASSIGNEE(S):	Wellcome Foundation Ltd., UK
SOURCE:	PCT Int. Appl., 75 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9118897	A1	19911212	WO 1991-GB908	19910606
W: AU, BR, CA, FI, HU, JP, KR, MC, NO, PL, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2064815	A1	19911208	CA 1991-2064815	19910606
CA 2064815	C	19991116		
AU 9179570	A	19911231	AU 1991-79570	19910606
AU 646871	B2	19940310		
EP 486666	A1	19920527	EP 1991-911486	19910606
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ZA 9104340	A	19930224	ZA 1991-4340	19910606
HU 62289	A2	19930428	HU 1992-384	19910606
HU 219974	B	20011028		
JP 05502679	T	19930513	JP 1991-510103	19910606
JP 2738461	B2	19980408		
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PL 166799	B1	19950630	PL 1991-305191	19910606
PL 166800	B1	19950630	PL 1991-305192	19910606
IL 98392	A	19960119	IL 1991-98392	19910606
IL 114690	A	19970218	IL 1991-114690	19910606
AT 156823	T	19970815	AT 1991-911486	19910606
ES 2104708	T3	19971016	ES 1991-911486	19910606
RU 2110517	C1	19980510	RU 1991-5011473	19910606
RU 2160736	C2	20001220	RU 1995-112537	19910606
SK 281621	B6	20010510	SK 1991-1727	19910606
CA 2282890	C	20010731	CA 1991-2282890	19910606
AT 204275	T	20010915	AT 1994-115107	19910606
SI 21560	A	20050228	SI 1991-19001	19910606
NO 9200494	A	19920330	NO 1992-494	19920206
NO 300634	B1	19970630		
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US 5399574	A	19950321	US 1992-838233	19920303

LT 3264	B	19950525	LT 1993-419		19930315
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US 5466699	A	19951114	US 1994-341206		19941205
US 5863935	A	19990126	US 1995-471229		19950606
FI 9600155	A	19960112	FI 1996-155		19960112
FI 106262	B1	20001229			
FI 2000001406	A	20000613	FI 2000-1406		20000613
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			WO 1991-GB908	A	19910606
			FI 1992-503	A	19920206
			US 1992-838233	A3	19920303
			US 1994-341206	A3	19941205

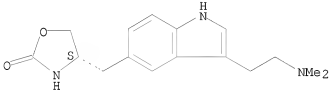
OTHER SOURCE(S): CASREACT 116:174136; MARPAT 116:174136

IT 139264-17-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serotonin agonist)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



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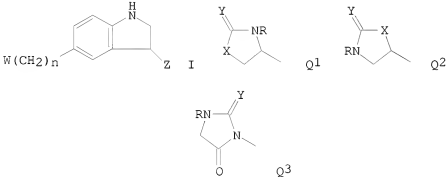

AB Title compds. I [n = 0-3; W = Q1-Q3; R, R1, R2 = H, C1-4 alkyl; X = O, S, NH, CH2; Y = O, S; Z = CH2CH2NR1R2, Q; Q = 4-piperidyl, 1,2,3,6-tetrahydropyridin-4-yl, 1-C1-4 alkyl-4-piperidyl, 1-C1-4 alkyl-1,2,3,6-tetrahydropyridin-4-yl] were prepared as 5-HT1-like receptor agonists for the treatment of migraines. Thus S-4-(4-nitrobenzyl)-1,3-oxazolidin-2-one (preparation given) was hydrogenated over Pd/C and the product formed was diazotized in the presence of SnCl2 to give the 4-(4-hydrazinobenzyl) derivative This was cyclocondensed with

Cl(CH2)3CH(OMe)2 and the resulting (indolyl)ethylamine derivative was di-N-methylated by H2CO/NaCNBH3 to give (S)-I [W = Q1; R = H, X, Y = O; n = 1; Z = CH2CH2NMe2] (II). II had p[A50] of 7.0 for mediating smooth muscle contraction where [A50] is the concentration necessary for half-maximal effect. II.HCl orally at 50 mg/kg/day for 15 days was not toxic to cynomolgus monkeys. Formulations of I were prepared

L12 ANSWER 1 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:226049 CAPLUS
TITLE: Pharmaceutical films containing drug particles and polymers and taste-masking agents
INVENTOR(S): Yang, Robert K.; Fuisz, Richard C.; Myers, Garry L.; Fuisz, Joseph M.
PATENT ASSIGNEE(S): Monosolrx LLC, USA
SOURCE: U.S. Pat. Appl. Publ., 74pp., Cont.-in-part of U.S. Ser. No. 856,176.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2008044454	A1	20080221	US 2007-775484	20070710
WO 2003030881	A1	20030417	WO 2002-US32542	20021011
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AU 2004319243	A1	20060112	AU 2004-319243	20040528
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WO 2006031209 A1 20060323 WO 2004-US17076 20040528
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EP 1663178 A1 20060607 EP 2004-753818 20040528
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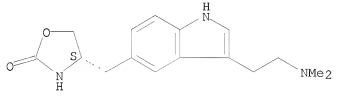
BR 2004010956 A 20060704 BR 2004-10956 20040528
CN 1812773 A 20060802 CN 2004-80017896 20040528
JP 2007500252 T 20070111 JP 2006-535323 20040528
NO 2005006060 A 20060207 NO 2005-6060 20051220
IN 2005KN02661 A 20061027 IN 2005-KN2661 20051221
PRIORITY APPLN. INFO.: US 2002-371940P P 20020411
US 2002-386937P P 20020607
US 2002-414276P P 20020927
WO 2002-US32542 A2 20021011
WO 2002-US32575 A2 20021011
WO 2002-US32594 A2 20021011
US 2003-443741P P 20030130
US 2003-473902P P 20030528
US 2004-768809 A2 20040130
US 2004-856176 A2 20040528
US 2001-328868P P 20011012
US 2002-74272 A 20020214
WO 2004-US17076 W 20040528

IT INDEXING IN PROGRESS
IT 139264-17-8, Zomig
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical films containing drug particles and polymers and taste-masking agents)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



AB The present invention relates to rapid dissolve thin film drug delivery compns. for the oral administration of active components. The active components are provided as taste-masked or controlled-release coated particles uniformly distributed throughout the film composition The compns. may be formed by wet casting methods, where the film is cast and controllably dried, or alternatively by an extrusion method.

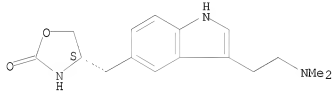
L12 ANSWER 2 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:126376 CAPLUS

DOCUMENT NUMBER: 148:175836
TITLE: Methods and compositions of gene delivery to epithelial cells through bile acid peptide conjugate delivery agents for systemic and local therapy
INVENTOR(S): Hilfinger, John; Kish, Phillip; Roessler, Blake
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 49pp., Cont.-in-part of U.S. Ser. No. 706,738.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2008026077	A1	20080131	US 2006-608370		20061208
US 2005026859	A1	20050203	US 2003-706738		20031112
PRIORITY APPLN. INFO.:			US 2002-425379P	P	20021112
			US 2003-706738	A2	20031112
			US 2005-748390P	P	20051208

IT 139264-17-8, Zolmitriptan
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and compns. of gene delivery to epithelial cells through bile acid peptide conjugate delivery agents for systemic and local therapy)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



AB A method is provided for the delivery of a therapeutic to epithelial cells through the use of a bile acid conjugated to a peptide, the peptide being ionically charged at physiol. pH. The complex is well suited for oral and other forms of therapeutic administration of therapeutic drugs known in the art in order to exact systemic and/or localized effect. Intestinal epithelial cells, as well as non-epithelial cells within the gastrointestinal tract and other target cells receive with greater efficiency a charged therapeutic when delivered with an oppositely charged bile acid conjugate (BAC) through oral administration, direct injection, or infusive administrations, thereby increasing bioavailability. Thus, BAC was synthesized by solid phase chemical: a six L-arginine peptide was first synthesized on the resin bed using standard 9-fluorenylmethoxycarbonyl (FMOC) chemical To attach the bile acid salt, an excess of chendoxycholic acid was added to the resin and allowed to react with the immobilized peptide; after conjugation, the N-hexapeptide chenoxycholamide BAC was cleaved from the resin and purified to greater than 95% purity by HPLC.

L12 ANSWER 3 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:125879 CAPLUS
DOCUMENT NUMBER: 148:175832
TITLE: Anti-migraine oral spray formulations comprising sumatriptan succinate in a potassium phosphate buffer,

and methods
INVENTOR(S): Blondino, Frank E.; Chen, Carrie; Malitz, Howard; Opawale, Foyeke
PATENT ASSIGNEE(S): Novadel Pharma Inc., USA
SOURCE: PCT Int. Appl., 51pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008013929	A2	20080131	WO 2007-US16881	20070727
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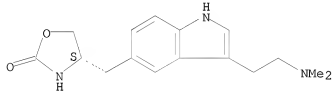
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 2008031959	A1	20080207	US 2007-829396	20070727

PRIORITY APPLN. INFO.: US 2006-833847P P 20060728

IT 139264-17-8, Zolmitriptan
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-migraine oral spray formulations comprising sumatriptan succinate in a potassium phosphate buffer, and methods)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



AB Formulations of an active pharmaceutical agent suitable for oral spray administration for absorption through the oral mucosa and related methods of preparation and administration are provided. Preferred embodiments provide sumatriptan succinate in a potassium phosphate buffer, wherein when a unit dose volume of about 50 to about 600 mcL of the oral spray composition is sprayed, a blood concentration of greater than about 5 ng/mL of sumatriptan is reached within about six minutes post dosing. Thus, pharmacokinetic parameters for oral mucosal spray delivery (20 mg lingual spray dose in a spray volume of 240 mcL or 30 mg lingual spray dose in a spray volume of 360 mcL) and oral tablet (50 mg) administration of sumatriptan formulations were measured and evaluated. Administration of the 20 mg lingual formulation resulted in a first peak blood concentration of about 11 ng/mL at about six minutes post dosing and a second peak blood concentration of about 12 ng/mL at about 90 min post dosing. In contrast, the 50 mg tablet dose

each resulted in a single peak blood concentration of about 27 ng/mL at about 1 h post dosing.

L12 ANSWER 4 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:72028 CAPLUS
DOCUMENT NUMBER: 148:168705
TITLE: An improved process for purification of zolmitriptan
INVENTOR(S): Kompella, Amala Kisham; Rachakonda, Sreenivas; Adibhatla Kali Satya, Bhujanga Rao; Venkaiah Chowdary, Nannapaneni
PATENT ASSIGNEE(S): Natco Pharma Limited, India
SOURCE: PCT Int. Appl., 10pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008007390	A2	20080117	WO 2007-IN267	20070629
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IN 2006CH01203	A	20080125	IN 2006-CH1203	20060710

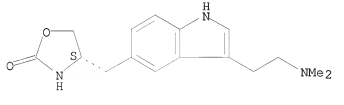
PRIORITY APPLN. INFO.: IN 2006-CH1203 A 20060710

IT 139264-17-8P, Zolmitriptan
RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(preparation and purification of zolmitriptan)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



AB This document discloses a process for the purification of zolmitriptan comprising the steps of : (a) extracting the impurity with chloroform by adjusting the pH of the reaction mass to 7 at room temperature; (b) extracting the product with chloroform by adjusting the reaction mass pH to 10 at room temperature; (c) decolorizing the chloroform layer; (d) isolating the crude zolmitriptan by distilling off the chloroform layer, filtering, and drying;

(e) dissolving the crude zolmitriptan in refluxing aqueous acetonitrile; (f) slowly cooling the solution to about 0°C; (g) filtering the product and washing it; (h) dissolving the product in refluxing isopropanol; (i) decolorizing the isopropanol solution using charcoal; (j) concentrating the isopropanol solution and adding water; (k) filtering the product; (l) washing the product and drying it. Zolmitriptan is a known drug for the treatment and prophylaxis of migraine. Thus, zolmitriptan was prepared from (S)-4-(4-aminobenzyl)-2-oxazolidinone and 4,4-diethoxy-N,N-dimethylbutylamine. The crude zolmitriptan was dissolved in a refluxing mixture of water and acetonitrile, treated with charcoal, and then filtered; the solution was slowly cooled and stirred for 8 h; the product was then filtered, washed with water, and dried at 50°C; the resulting solid was dissolved in refluxing isopropanol, treated with charcoal, and filtered; the filtrate was concentrated, cooled, mixed with water, and stirred for 2 h before filtering the product which was washed with water and dried in vacuum at 50°C to give zolmitriptan as white powder (purity : 99.87%).

L12 ANSWER 5 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2008:70811 CAPLUS
DOCUMENT NUMBER:	148:152047
TITLE:	Processes for preparing pharmaceutical compositions of triptans for treating migraine and/or headache
INVENTOR(S):	Duncalf, David John; Rannard, Steven Paul; Long, James; Wang, Dong; Elphick, Andrew James; Staniforth, John; Foster, Alison Jayne
PATENT ASSIGNEE(S):	Unilever PLC, UK
SOURCE:	PCT Int. Appl., 40pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	8

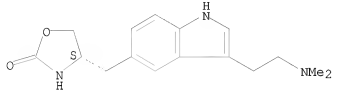
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008007151	A2	20080117	WO 2007-GB50408	20070713
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
WO 2008006712	A2	20080117	WO 2007-EP56560	20070629
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: GB 2006-13925 A 20060713
WO 2007-EP56560 A 20070629

IT 139264-17-8, Zolmitriptan
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of nanodispersion of water-insol. triptan using water-soluble carrier and spray drying for treatment of headache and/or migraine)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



AB A process for the production of a composition comprising a water-insol. triptan comprises the steps of (a) providing a mixture comprising (i) a water-insol. triptan, (ii) a water soluble carrier, and (iii) a solvent for each of the triptan and the carrier, and (b) spray-drying the mixture to remove the solvent and obtain a substantially solvent-free nano-dispersion of the triptan in the carrier. A composition further comprises an analgesic agent, such as an NSAID, and an anti-nausea agent for use in treating migraine and/or headache. Thus, 0.40 g sumatriptan, 1.00 g Klucel EF, 0.44 g HPMC, and 0.16 g Pluronic F68 were all dispersed into 100 mL absolute ethanol, followed by adding 60 mL water resulting in a clear solution The solution was then spray dried at 120° with the liquid feed rate at 2.5 mL/min. A white free flowing powder was obtained. The dried powder (20 mg) was dispersed into 10 mL water, giving a crystal clear nanodispersion with a particle size of 100 to 500 nm.

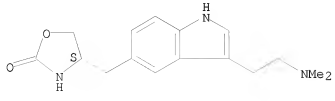
L12 ANSWER 6 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:44806 CAPLUS
DOCUMENT NUMBER: 148:85583
TITLE: Pharmaceutical combinations for the treatment of cephaleas and migraine attacks as well as blisters and packs
INVENTOR(S): Krymchantowski, Abouch Valent
PATENT ASSIGNEE(S): Brazil
SOURCE: Braz. Pedido PI, 23pp.
CODEN: BPXXDX
DOCUMENT TYPE: Patent
LANGUAGE: Portuguese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BR 2005003323	A	20070327	BR 2005-3323	20050809

PRIORITY APPLN. INFO.: BR 2005-3323 20050809

IT 139264-17-8, Zolmitriptan
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(trimebutine maleate formulation for headaches)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



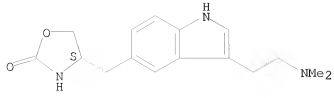
AB An invention involving a pharmaceutical composition containing trimebutine maleate
and an anti-inflammatory or analgesic for the treatment of migraine attacks or other cephaleas.

L12 ANSWER 7 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1303026 CAPLUS
DOCUMENT NUMBER: 147:528170
TITLE: Use of roll compacted pyrogenically produced silicon dioxide in pharmaceutical compositions
INVENTOR(S): Gray, Ann; Drechsler, Margarete; Hofmann, Ralph
PATENT ASSIGNEE(S): Degussa G.m.b.H., Germany
SOURCE: PCT Int. Appl., 53pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007128349	A1	20071115	WO 2006-EP62215	20060510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			WO 2006-EP62215	20060510

IT 139264-17-8, Zolmitriptan
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of roll compacted pyrogenically produced silicon dioxide in pharmaceutical compns.)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

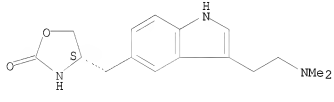
Absolute stereochemistry.



AB This invention relates to the use of Schuelpen based on pyrogenically produced silicon dioxide in pharmaceutical composition
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1287811 CAPLUS
DOCUMENT NUMBER: 148:161250
TITLE: An analysis of results from 305 compounds tested with the yeast RAD54-GFP genotoxicity assay (GreenScreen GC) - including relative predictivity of regulatory tests and rodent carcinogenesis and performance with autofluorescent and colored compounds
AUTHOR(S): Knight, Andrew W.; Billinton, N.; Cahill, P. A.; Scott, A.; Harvey, J. S.; Roberts, K. J.; Tweats, D. J.; Keenan, P. O.; Walmsley, R. M.
CORPORATE SOURCE: Gentronix Ltd., Manchester, M13 9NT, UK
SOURCE: Mutagenesis (2007), 22(6), 409-416
CODEN: MUTAEX; ISSN: 0267-8357
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 139264-17-8, Zolmitriptan
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (relative predictivity of regulatory tests for rodent carcinogenesis and performance of yeast RAD54-GFP genotoxicity assay (GreenScreen GC) with autofluorescent and colored compds.)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



AB Data from 305 non-proprietary compds. tested using the yeast RAD54-GFP (Green Fluorescent Protein) assay, GreenScreen GC, are presented, together with a detailed comparison with results from in vitro and in vivo genotoxicity tests and rodent carcinogenesis. In addition, observations on reproducibility and the performance of the test with autofluorescent and colored compds. are described. Like the Ames test, the GreenScreen assay is shown to exhibit high specificity (82%), meaning that compds. with pos. results are very likely to be genotoxic carcinogens. This is in contrast to mammalian cell tests established for use in regulatory testing that provide disappointingly low specificity and the inevitable generation of

confounding false pos. data. The anal. confirmed the observations of earlier studies, showing that a combination of an Ames test (or surrogate) with the yeast test provides high specificity as well as high sensitivity in the identification of rodent carcinogens.

L12 ANSWER 9 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1275036 CAPLUS
DOCUMENT NUMBER: 147:508513
TITLE: Fixed combination dosage forms for the treatment of migraine
INVENTOR(S): Maichle, William R.; Whatley, Carl L.; Reiner, Giorgio; Reiner, Alberto
PATENT ASSIGNEE(S): Proethic Pharmaceuticals, Inc., USA; Applied Pharma Research S.A.
SOURCE: PCT Int. Appl., 26pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

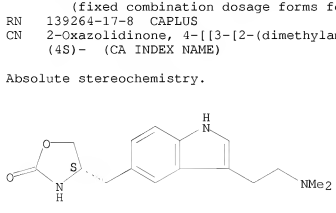
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007127207	A2	20071108	WO 2007-US9953	20070425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2006-795214P P 20060425

IT 139264-17-8, Zolmitriptan
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fixed combination dosage forms for the treatment of migraine)

RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



AB Therapeutic regimens and dosage forms are disclosed for the treatment of migraine headache. The regimens preferably combine a serotonin receptor agonist, such as sumatriptan, eletriptan or almotriptan, with a fast acting formulation of diclofenac potassium.

L12 ANSWER 10 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

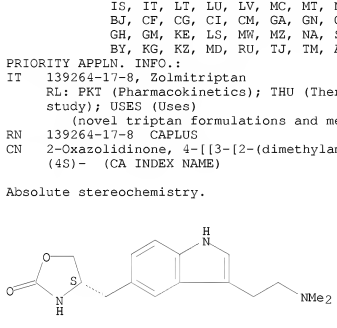
ACCESSION NUMBER: 2007:1274817 CAPLUS
DOCUMENT NUMBER: 147:508508
TITLE: Novel triptan formulations and methods for making them
INVENTOR(S): Cherukuri, S. Rao
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 14pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007259040	A1	20071108	US 2007-799751	20070501
WO 2007130373	A2	20071115	WO 2007-US10491	20070501
WO 2007130373	A3	20071227		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-796789P P 20060501
IT 139264-17-8, Zolmitriptan
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel triptan formulations and methods for making them)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



AB Rapidly disintegrating oral triptan formulations having superior palatability and methods of making such are provided herein. A rapidly disintegrating oral triptan composition can comprise a triptan compound, a resin, a lubricant, a disintegrant, and a compressible material, where the triptan is admixed with the resin forming a taste-masked triptan composition, which is further admixed with the lubricant, the disintegrant, and the compressible material to form the rapidly disintegrating oral triptan composition

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L12 ANSWER 452 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1992:174136 CAPLUS
DOCUMENT NUMBER: 116:174136
TITLE: Preparation of [(oxazolidinonylalkyl)indolyl]ethylamin es and related compounds as serotonin agonists
INVENTOR(S): Robertson, Alan Duncan; Hill, Alan Peter; Glen, Robert Charles; Martin, Graeme Richard
PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9118897	A1	19911212	WO 1991-GB908	19910606
W: AU, BR, CA, FI, HU, JP, KR, MC, NO, PL, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2064815	A1	19911208	CA 1991-2064815	19910606
CA 2064815	C	19991116		
AU 9179570	A	19911231	AU 1991-79570	19910606
AU 646871	B2	19940310		
EP 486666	A1	19920527	EP 1991-911486	19910606
EP 486666	B1	19970813		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9104340	A	19930224	ZA 1991-4340	19910606
HU 62289	A2	19930428	HU 1992-384	19910606
HU 219974	B	20011028		
JP 05502679	T	19930513	JP 1991-510103	19910606
JP 2738461	B2	19980408		
EP 636623	A1	19950201	EP 1994-115107	19910606
EP 636623	B1	20010816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
PL 166214	B1	19950428	PL 1991-293486	19910606
PL 166799	B1	19950630	PL 1991-305191	19910606
PL 166800	B1	19950630	PL 1991-305192	19910606
IL 98392	A	19960119	IL 1991-98392	19910606
IL 114690	A	19970218	IL 1991-114690	19910606
AT 156823	T	19970815	AT 1991-911486	19910606
ES 2104708	T3	19971016	ES 1991-911486	19910606
RU 2110517	C1	19980510	RU 1991-5011473	19910606
RU 2160736	C2	20001220	RU 1995-112537	19910606
SK 281621	B6	20010510	SK 1991-1727	19910606
CA 2282890	C	20010731	CA 1991-2282890	19910606
AT 204275	T	20010915	AT 1994-115107	19910606
SI 21560	A	20050228	SI 1991-19001	19910606
NO 9200494	A	19920330	NO 1992-494	19920206
NO 300634	B1	19970630		
FI 105686	B1	20000929	FI 1992-503	19920206
US 5399574	A	19950321	US 1992-838233	19920303
LT 3264	B	19950525	LT 1993-419	19930315
LV 10274	B	19950420	LV 1993-872	19930630
US 5466699	A	19951114	US 1994-341206	19941205
US 5863935	A	19990126	US 1995-471229	19950606
FI 9600155	A	19960112	FI 1996-155	19960112
FI 106262	B1	20001229		

FI 2000001406	A	20000613	FI 2000-1406		20000613
PRIORITY APPLN. INFO.:			GB 1990-12672	A	19900607
			GB 1991-2182	A	19910201
			CA 1991-2064815	A3	19910606
			EP 1991-911486	A3	19910606
			IL 1991-98392	A3	19910606
			WO 1991-GB908	A	19910606
			FI 1992-503	A	19920206
			US 1992-838233	A3	19920303
			US 1994-341206	A3	19941205

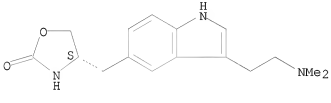
OTHER SOURCE(S): CASREACT 116:174136; MARPAT 116:174136

IT 139264-17-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serotonin agonist)

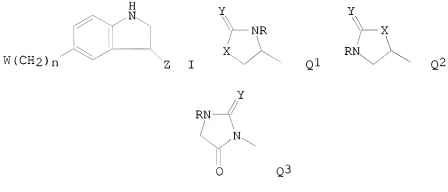
RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



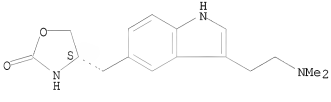
GI



AB Title compds. I [n = 0-3; W = Q1-Q3; R, R1, R2 = H, C1-4 alkyl; X = O, S, NH, CH2; Y = O, S; Z = CH2CH2NR1R2, Q; Q = 4-piperidyl, 1,2,3,6-tetrahydropyridin-4-yl, 1-C1-4 alkyl-4-piperidyl, 1-C1-4 alkyl-1,2,3,6-tetrahydropyridin-4-yl] were prepared as 5-HT1-like receptor agonists for the treatment of migraines. Thus S-4-(4-nitrobenzyl)-1,3-oxazolidin-2-one (preparation given) was hydrogenated over Pd/C and the product formed was diazotized in the presence of SnCl2 to give the 4-(4-hydrazinobenzyl) derivative This was cyclocondensed with Cl(CH2)3CH(OMe)2 and the resulting (indolyl)ethylamine derivative was di-N-methylated by H2CO/NaCNBH3 to give (S)-I [W = Q1; R = H, X, Y = O; n = 1; Z = CH2CH2NMe2] (II). II had p[A50] of 7.0 for mediating smooth muscle contraction where [A50] is the concentration necessary for half-maximal effect. II.HCl orally at 50 mg/kg/day for 15 days was not toxic to cynomolgus monkeys. Formulations of I were prepared

L12 ANSWER 442 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:651371 CAPLUS
DOCUMENT NUMBER: 125:315885
TITLE: Emerging preclinical and clinical profile of 311C90: A poster review and discussion
AUTHOR(S): Ferrari, Michel D.; Martin, Graeme R.; Earl, Nancy L.; Klein, Kenneth B.
CORPORATE SOURCE: Department Neurology, Leiden University Hospital, Leiden, NL-2300, Neth.
SOURCE: European Neurology (1996), 36(Suppl. 2, 311C90: Further Advances in the Pathogenesis and Acute Treatment of Migraine), 19-23
CODEN: EUNEAP; ISSN: 0014-3022
PUBLISHER: Karger
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
IT 139264-17-8, 311C90
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preclin. and clin. profile of 5-HT1D agonist 311C90 in humans)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

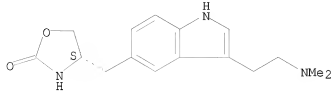


AB A review with 23 refs. discussing central actions of the 5-HT1D receptor agonist 311C90.

L12 ANSWER 443 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:651370 CAPLUS
DOCUMENT NUMBER: 125:292886
TITLE: Inhibition of the trigemino-vascular system with 5-HT1D agonist drugs: Selectively targeting additional sites of action
AUTHOR(S): Martin, Graeme R.
CORPORATE SOURCE: Wellcome Foundation, Beckenham/Kent, UK
SOURCE: European Neurology (1996), 36(Suppl. 2, 311C90: Further Advances in the Pathogenesis and Acute Treatment of Migraine), 13-18
CODEN: EUNEAP; ISSN: 0014-3022
PUBLISHER: Karger
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 139264-17-8, 311C90
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(inhibition of trigemino-vascular system with serotoninergic S1D agonists 311C90 and sumatriptan which selectively targeting addnl. sites of action in relation to oral bioavailability and migraine attack treatment)
RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

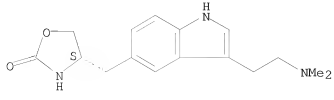
Absolute stereochemistry.



AB Inappropriate activation of the trigemino-vascular system is thought to be important in the pathogenesis of a migraine attack. The 5-HT1D agonist sumatriptan, which is highly effective in the acute treatment of migraine, inhibits trigemino-vascular activation in animals, although its actions are normally limited to peripheral components of the trigemino-vascular system. 311C90, a novel 5-HT1D agonist drug, which is also highly effective in the acute treatment of migraine, acts not only at these sites, but, addnl. within the brainstem, inhibiting trigemino-vascular activation centrally as well as peripherally. This article describes the pre-clin. development of 311C90 and considers, specifically, the approaches taken in the design of a mol. with attributes which facilitate access to brainstem components of the trigeminal pathway and combine this with good oral bioavailability.

L12 ANSWER 444 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:651369 CAPLUS
DOCUMENT NUMBER: 125:315884
TITLE: Clinical safety of 311C90: Aggregated data from patients and volunteers to date
AUTHOR(S): Earl, Nancy L.
CORPORATE SOURCE: Glaxo Wellcome, Research Triangle Park, NC, 27709, USA
SOURCE: European Neurology (1996), 36(Suppl. 2, 311C90: Further Advances in the Pathogenesis and Acute Treatment of Migraine), 8-12
CODEN: EUNEAP; ISSN: 0014-3022
PUBLISHER: Karger
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
IT 139264-17-8, 311C90
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(clin. safety of 311C90 in humans)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

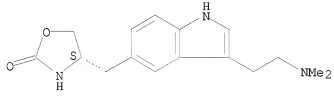
Absolute stereochemistry.



AB A review with 9 refs. The tolerability of 311C90, a novel, selective and highly effective 5-HT1D receptor agonist in development for the acute treatment of migraine, has been evaluated in a number of clin. pharmacol. and patient studies across the dose range 1-50 mg. 311C90 has been well tolerated across the entire dose range and no clin. relevant changes in routine laboratory parameters, blood pressure or ECG recordings have been observed
Adverse experiences reported are generally dose related, mild to moderate and resolve spontaneously. Chest-related symptoms occur infrequently and the cardiovascular safety profile of 311C90 is considered particularly favorable. 311C90, therefore, possesses a desirable safety profile which is well suited to broad-based outpatient administration.

L12 ANSWER 445 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:651368 CAPLUS
DOCUMENT NUMBER: 125:317028
TITLE: The clinical effectiveness of 311C90 in the acute treatment of migraine
AUTHOR(S): Ferrari, Michel D.
CORPORATE SOURCE: Department Neurology, Leiden University Hospital, Leiden, NL-2300, Neth.
SOURCE: European Neurology (1996), 36(Suppl. 2, 311C90: Further Advances in the Pathogenesis and Acute Treatment of Migraine), 4-7
CODEN: EUNEAP; ISSN: 0014-3022
PUBLISHER: Karger
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 139264-17-8, 311C90
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(clin. effectiveness of 311C90 in the acute treatment of migraine in humans)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



AB Efficacy with currently marketed antimigraine compds. is less than optimal. 311C90 is a novel and selective 5-HT1D receptor agonist in development for the acute treatment of migraine. It shows evidence of both central and peripheral activity within the trigemino-vascular system and it is rapidly absorbed following oral administration. In clin. studies in migraine patients, a headache response at 2 h has been observed in 65-81% of patients at doses above 1 mg. Favorable response rates are reported as early as 1 h post-dose and efficacy rates continue to improve up to 4 h. Headache recurrence is reported by 25-35% of patients and 311C90 is also effective in relieving the non-headache symptoms of migraine.

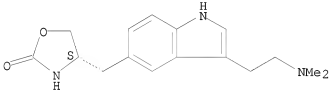
L12 ANSWER 446 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:635111 CAPLUS
DOCUMENT NUMBER: 125:257222
TITLE: Methods of treating or preventing psychiatric disorders
INVENTOR(S): Johnson, Kirk W.; Phebus, Lee A.
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9624353	A1	19960815	WO 1996-US1737	19960208
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE				
AU 9649187	A	19960827	AU 1996-49187	19960208
PRIORITY APPLN. INFO.:			US 1995-387056	A1 19950210
			WO 1996-US1737	W 19960208

IT 139264-17-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods of treating or preventing psychiatric disorders)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

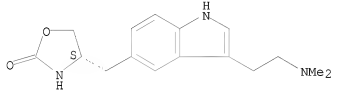
Absolute stereochemistry.



AB This invention provides methods for the treatment or prevention of psychiatric disorders which comprises administering to a mammal a combination of a tachykinin receptor antagonist and either a serotonin agonist or a selective serotonin reuptake inhibitor. This administration may be concurrent or sequential, with either of the 2 activities being administered first. The psychiatric disorders which may be treated by the methods of the invention include panic disorder, panic attack, depression, anxiety, obsessive-compulsive disorder, post-traumatic stress disorder, borderline personality disorder, etc. Thus, (R)-2-[N-(2-((4-cyclohexyl)piperazin-1-yl)acetyl)amino]-3-(1H-indol-3-yl)-1-[N-(2-methoxybenzyl)acetylamino]propane was prepared by a series of steps starting from D-tryptophan. Hard gelatin capsules were each prepared containing active ingredient(s) 30.0, starch 305.0, and Mg stearate 5.0 mg. Radioreceptor binding assay studies performed by using the active ingredients on NK-1 or NK-2 receptors showed that the compds. were effective antagonists of these receptors.

L12 ANSWER 447 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:564447 CAPLUS
DOCUMENT NUMBER: 125:264835
TITLE: Determination of the 5-HT receptor agonist 311C90 in human plasma by LC-MS-MS
AUTHOR(S): Pleasance, S.; Fraser, I. J.; Jones, A. E.; Allanson, J. A.; Sadra, P.
CORPORATE SOURCE: Division Bioanalysis and Drug Metabolism, Glaxo-Wellcome, Beckenham/Kent, BR3 3BS, UK
SOURCE: Methodological Surveys in Bioanalysis of Drugs (1996), 24(Biofluid Assay for Peptide-Related and Other Drugs), 118-125
CODEN: MSBDE6
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 139264-17-8, 311C90
RL: ANT (Analyte); ANST (Analytical study)
(determination of 5-HT receptor agonist 311C90 in human plasma by LC-MS-MS)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

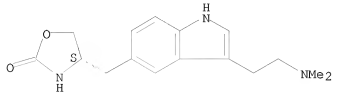


AB An MS-based method is described for determining the 5-HT receptor agonist 311C90
and its desmethyl metabolite (183C91) in human plasma, with a deuterated analog as i.s. The method employs SPE and LC-MS-MS with APcl and SRM. It offers increased sensitivity, selectivity and speed of anal. compared with an existing method using fluorescence detection (HPLC-fluor).

L12 ANSWER 448 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:498982 CAPLUS
DOCUMENT NUMBER: 125:159254
TITLE: Promotion of cell growth by stimulation of cloned human 5-HT1D receptor sites in transfected C6-glial cells is highly sensitive to intrinsic activity at 5-HT1D receptors
AUTHOR(S): Pauwels, Petrus J.; Wurch, Thierry; Palmier, Christiane; Colpaert, Francis C.
CORPORATE SOURCE: Lab. Cellular and Molecular Neurobiology, Center Recherche Pierre Fabre, Castres, F-81006, Fr.
SOURCE: Naunyn-Schmiedeberg's Archives of Pharmacology (1996), 354(2), 136-144
CODEN: NSAPCC; ISSN: 0028-1298
PUBLISHER: Springer
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 139264-17-8, Zolmitriptan
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(human 5-HT1D receptor stimulation promotion of cell growth in

transfected C6-glial cells)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



AB 5-Hydroxytryptamine (serotonin, 5-HT), essentially known as a neurotransmitter and vasoactive agent, also functions as a mitogen in various cell types through several different second messenger systems. Stimulation of cloned human 5-HT1D receptor sites by sumatriptan in stably transfected rat C6-glial/5-HT1D cells promotes cell growth. In the present study, the pharmacol. of this growth response was investigated using a broad series of 5-HT receptor ligands. The data were compared with the responses obtained by measuring inhibition of forskolin-stimulated cAMP formation. 5-HT promoted cell growth of C6-glial/5-HT1D cells, and this in contrast to the absence of any measurable effect in pcDNA3-plasmid transfected and non-transfected C6-glial cells. The 5-HT effect could be mimicked by the following compds. (EC50 in nM): zolmitriptan (0.41), GR 127935 (0.86), naratriptan (0.92), metergoline (1.9), sumatriptan (2.9), MK-462 (3.0), and R(+)-8-hydroxy-2-(di-n-propylamino)tetralin (R(+)-8-OH-DPAT; 30.7). These EC50-values correspond to the compds. binding affinities at the human 5-HT1D receptor site and, with the exception of GR 127935 and metergoline, also to the EC50-values found by measuring over 5 min inhibition of forskolin (100 μM)-stimulated cAMP formation. Prolonged exposure of GR 127935 (3 h) and metergoline (30 min) to cells yielded EC50 values in the cAMP assay more close to those measured in the mitogenic response. The growth response to sumatriptan, 5-HT, GR 127935 and metergoline was blocked by the apparently silent antagonists methiothepin, ritanserin and ketanserin with potencies similar to blockade of inhibition of stimulated cAMP formation. The 8-OH-DPAT effect also is likely mediated by 5-HT1D receptors; stereoselectivity was found with its enantiomers at this receptor site and the effect was blocked by ketanserin (1 μM) but not by spiperone (1 μM). Micromolar concns. of the 5-HT1B receptor agonist CP 93129 and of the 5-HT2 receptor agonist 1-(2,5-dimethoxy-4-iodophenyl)-2-aminopropane (DOI) induced cell growth with a potency that accorded with the affinity of these compds. for the human 5-HT1D receptor site. These effects were sensitive to ketanserin (1 μM) antagonism, but not to blockade by β-adrenergic blockers and the 5-HT2 receptor antagonist BW 501-C-67. The findings suggest that 5-HT1A, 5-HT1B and 5-HT2 receptors are not implicated in 5-HT-stimulated C6-glial/5-HT1D cell growth. In conclusion, human 5-HT1D receptors are involved in the growth of C6-glial/5-HT1D cells. This cellular response is highly sensitive to the intrinsic activity of compds. at 5-HT1D receptors.

L12 ANSWER 449 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:401715 CAPLUS
DOCUMENT NUMBER: 125:67748
TITLE: Methods of treating migraine with a tachykinin antagonist and a serotonin agonist
INVENTOR(S): Cohen, Marlene Lois; Johnson, Kirk Willis; Phebus, Lee Alan

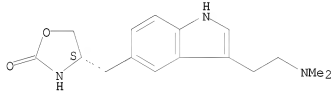
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9611000	A1	19960418	WO 1995-US13087	19951004
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, UG, UZ, VN				
RW: KE, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5744482	A	19980428	US 1994-318391	19941005
ZA 9508173	A	19970401	ZA 1995-8173	19950928
EP 710479	A1	19960508	EP 1995-307000	19951003
EP 710479	B1	19990107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 175347	T	19990115	AT 1995-307000	19951003
ES 2125567	T3	19990301	ES 1995-307000	19951003
AU 9641301	A	19960502	AU 1996-41301	19951004

PRIORITY APPLN. INFO.: US 1994-318391 A 19941005
WO 1995-US13087 W 19951004

IT 139264-17-8
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(methods of treating migraine with a tachykinin antagonist and a serotonin agonist)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

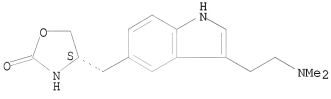


AB This invention provides methods for the treatment or prevention of migraines which comprises administering to a mammal in need thereof a combination of a tachykinin receptor antagonist and a serotonin agonist. This administration may be concurrent or sequential, with either of the two activities being administered first.

L12 ANSWER 450 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:332098 CAPLUS
DOCUMENT NUMBER: 125:67958
TITLE: The use of automated solid phase extraction in the '96 well' format for high throughput bioanalysis using liquid chromatography coupled to tandem mass spectrometry
AUTHOR(S): Allanson, John P.; Biddlecombe, Robert A.; Jones, Anne E.; Pleasance, Stephen
CORPORATE SOURCE: Dep. Int. Bioanal., Div. Bioanal. Drug Metab., Glaxo

Wellcome Res. Dev., Beckenham, Kent, BR3 3BS, UK
SOURCE: Rapid Communications in Mass Spectrometry (1996), 10(7), 811-816
CODEN: RCMSEF; ISSN: 0951-4198
PUBLISHER: Wiley
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 139264-17-8, 311C90
RL: ANT (Analyte); ANST (Analytical study)
(the use of automated solid phase extraction in the '96 well' format for high throughput bioanal. using liquid chromatog. coupled to tandem mass spectrometry)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

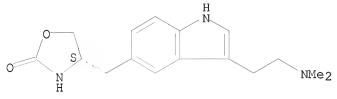


AB A high throughput mass spectrometry based method is described for the determination of the 5-HT receptor agonist 311C90, and its desmethyl metabolite, in human plasma. Samples were extracted using the MicroLuteTM system of solid phase extraction in the '96 well' format, automated by means of a robotic sample processor. The exts. were analyzed by liquid chromatog. tandem mass spectrometry (LC/MS/MS) with thermally assisted electrospray ionization (TurboIonSpray) and selected-reaction monitoring. The LC/MS/MS method offers increased sensitivity, selectivity and speed of anal. over an existing high performance liquid chromatog. method using fluorescence detection.

L12 ANSWER 451 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:746699 CAPLUS
DOCUMENT NUMBER: 123:132007
TITLE: Computer-Aided Design and Synthesis of 5-Substituted Tryptamines and Their Pharmacology at the 5-HT1D Receptor: Discovery of Compounds with Potential Anti-Migraine Properties
AUTHOR(S): Buckingham, Janet; Glen, Robert C.; Hill, Alan P.; Hyde, Richard M.; Martin, Graeme R.; Robertson, Alan D.; Salmon, John A.; Woollard, Patrick M.
CORPORATE SOURCE: Wellcome Research Laboratories, Beckenham/Kent, BR3 3BS, UK
SOURCE: Journal of Medicinal Chemistry (1995), 38(18), 3566-80
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 139264-17-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(design and synthesis and pharmacol. at 5-HT1D receptor of tryptamine

derivs.)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



AB The design and synthesis of a series of novel 5-substituted tryptamines with pharmacol. activity at 5-HT1D and other monoamine receptors is described. Structural modifications of N- and C-linked (principally hydantoin) analogs at the 5-position were synthesized and their pharmacol. activities were utilized to deduce significant steric and electrostatic requirements of the 5-HT1D and 5-HT2A receptor subtypes. Conformations of the active mols. were computed which, when overlaid, suggested a pharmacophore hypothesis which was consistent with the affinity and selectivity measured at 5-HT1D and 5-HT2A receptors. This pharmacophore is composed of a protonated amine site, an aromatic site, a hydrophobic pocket, and two hydrogen-bonding sites. A "selectivity site" was also identified which, if occupied, induced selectivity for 5-HT1D over 5-HT2A in this series of mols. The development and use of the pharmacophore models in compound design is described. In addition, the physicochem. constraints of mol. size and hydrophobicity required for efficient oral absorption are discussed. Utilizing the pharmacophore model in conjunction with the physicochem. constraints of mol. size and log DpH7.4 led to the discovery of 311C90 (6), a new selective 5-HT1D agonist with good oral absorption and potential use in the treatment of migraine.

L12 ANSWER 452 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1992:174136 CAPLUS
DOCUMENT NUMBER: 116:174136
TITLE: Preparation of [(oxazolidinonylalkyl)indolyl]ethylamines and related compounds as serotonin agonists
INVENTOR(S): Robertson, Alan Duncan; Hill, Alan Peter; Glen, Robert Charles; Martin, Graeme Richard
PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9118897	A1	19911212	WO 1991-GB908	19910606
W: AU, BR, CA, FI, HU, JP, KR, MC, NO, PL, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2064815	A1	19911208	CA 1991-2064815	19910606
CA 2064815	C	19991116		
AU 9179570	A	19911231	AU 1991-79570	19910606
AU 646871	B2	19940310		
EP 486666	A1	19920527	EP 1991-911486	19910606
EP 486666	B1	19970813		

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ZA	9104340	A	19930224	ZA 1991-4340	19910606
HU	62289	A2	19930428	HU 1992-384	19910606
HU	219974	B	20011028		
JP	05502679	T	19930513	JP 1991-510103	19910606
JP	2738461	B2	19980408		
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PL	166214	B1	19950428	PL 1991-293486	19910606
PL	166799	B1	19950630	PL 1991-305191	19910606
PL	166800	B1	19950630	PL 1991-305192	19910606
IL	98392	A	19960119	IL 1991-98392	19910606
IL	114690	A	19970218	IL 1991-114690	19910606
AT	156823	T	19970815	AT 1991-911486	19910606
ES	2104708	T3	19971016	ES 1991-911486	19910606
RU	2110517	C1	19980510	RU 1991-5011473	19910606
RU	2160736	C2	20001220	RU 1995-112537	19910606
SK	281621	B6	20010510	SK 1991-1727	19910606
CA	2282890	C	20010731	CA 1991-2282890	19910606
AT	204275	T	20010915	AT 1994-115107	19910606
SI	21560	A	20050228	SI 1991-19001	19910606
NO	9200494	A	19920330	NO 1992-494	19920206
NO	300634	B1	19970630		
FI	105686	B1	20000929	FI 1992-503	19920206
US	5399574	A	19950321	US 1992-838233	19920303
LT	3264	B	19950525	LT 1993-419	19930315
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US	5863935	A	19990126	US 1995-471229	19950606
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				GB 1991-2182 A	19910201
				CA 1991-2064815 A3	19910606
				EP 1991-911486 A3	19910606
				IL 1991-98392 A3	19910606
				WO 1991-GB908 A	19910606
				FI 1992-503 A	19920206
				US 1992-838233 A3	19920303
				US 1994-341206 A3	19941205

OTHER SOURCE(S): CASREACT 116:174136; MARPAT 116:174136

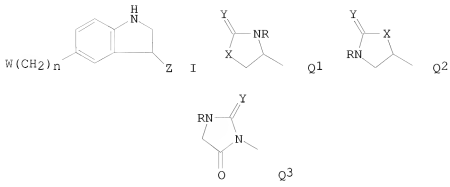
IT 139264-17-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serotonin agonist)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

GI



AB Title compds. I [n = 0-3; W = Q1-Q3; R, R1, R2 = H, C1-4 alkyl; X = O, S, NH, CH2; Y = O, S; Z = CH2CH2NR1R2, Q; Q = 4-piperidyl, 1,2,3,6-tetrahydropyridin-4-yl, 1-C1-4 alkyl-4-piperidyl, 1-C1-4 alkyl-1,2,3,6-tetrahydropyridin-4-yl] were prepared as 5-HT1-like receptor agonists for the treatment of migraines. Thus S-4-(4-nitrobenzyl)-1,3-oxazolidin-2-one (preparation given) was hydrogenated over Pd/C and the product formed was diazotized in the presence of SnCl2 to give the 4-(4-hydrazinobenzyl) derivative This was cyclocondensed with Cl(CH2)3CH(OMe)2 and the resulting (indolyl)ethylamine derivative was di-N-methylated by H2CO/NaCNBH3 to give (S)-I [W = Q1; R = H, X, Y = O; n = 1; Z = CH2CH2NMe2] (II). II had p[A50] of 7.0 for mediating smooth muscle contraction where [A50] is the concentration necessary for half-maximal effect. II.HCl orally at 50 mg/kg/day for 15 days was not toxic to cynomolgus monkeys. Formulations of I were prepared

=> d his

(FILE 'HOME' ENTERED AT 14:08:46 ON 28 FEB 2008)

FILE 'CAPLUS' ENTERED AT 14:09:03 ON 28 FEB 2008
E ZOLMITRIPTAN
L1 486 S E3
L2 1 S L1 AND CRYSTALLINE
L3 10 S L1 AND CRYSTAL
L4 0 S L1 AND POLYMORPH
E N-DESMETHYLZOLMITRIPTAN

FILE 'REGISTRY' ENTERED AT 14:12:00 ON 28 FEB 2008
E ZOLMITRIPTAN/CN

FILE 'CAPLUS' ENTERED AT 14:12:00 ON 28 FEB 2008
S E3

FILE 'REGISTRY' ENTERED AT 14:12:06 ON 28 FEB 2008
L5 1 S E3/CN

FILE 'CAPLUS' ENTERED AT 14:12:06 ON 28 FEB 2008
L6 452 S L5
L7 2 S L6 AND CRYSTALL#######
L8 4 S L6 AND POLYMORPH####

FILE 'STNGUIDE' ENTERED AT 14:15:41 ON 28 FEB 2008

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     FILE 'REGISTRY' ENTERED AT 16:12:49 ON 28 FEB 2008
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L10              STRUCTURE UPLOADED
L11            1 S L10 EXACT SAM

     FILE 'CAPLUS' ENTERED AT 16:19:44 ON 28 FEB 2008
L12          452 S L11

     FILE 'STNGUIDE' ENTERED AT 16:21:17 ON 28 FEB 2008

     FILE 'CAPLUS' ENTERED AT 16:59:38 ON 28 FEB 2008

     FILE 'STNGUIDE' ENTERED AT 16:59:39 ON 28 FEB 2008

     FILE 'CAPLUS' ENTERED AT 16:59:57 ON 28 FEB 2008

     FILE 'STNGUIDE' ENTERED AT 16:59:59 ON 28 FEB 2008

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     FILE 'STNGUIDE' ENTERED AT 17:00:32 ON 28 FEB 2008

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COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.24     184.96

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                 0.00     -20.00

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STRUCTURE FILE UPDATES:   27 FEB 2008  HIGHEST RN 1005551-32-5
DICTIONARY FILE UPDATES:  27 FEB 2008  HIGHEST RN 1005551-32-5

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  Please note that search-term pricing does apply when
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predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html
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                E ZOLMITRIPTAN
L1           486 S E3
L2             1 S L1 AND CRYSTALLINE
L3            10 S L1 AND CRYSTAL
L4             0 S L1 AND POLYMORPH
                E N-DESMETHYLZOLMITRIPTAN

     FILE 'REGISTRY' ENTERED AT 14:12:00 ON 28 FEB 2008
                E ZOLMITRIPTAN/CN

     FILE 'CAPLUS' ENTERED AT 14:12:00 ON 28 FEB 2008
                S E3

     FILE 'REGISTRY' ENTERED AT 14:12:06 ON 28 FEB 2008
L5             1 S E3/CN

     FILE 'CAPLUS' ENTERED AT 14:12:06 ON 28 FEB 2008
L6           452 S L5
L7             2 S L6 AND CRYSTALL#######
L8             4 S L6 AND POLYMORPH####

     FILE 'STNGUIDE' ENTERED AT 14:15:41 ON 28 FEB 2008

     FILE 'REGISTRY' ENTERED AT 16:12:49 ON 28 FEB 2008
L9             0 S "4(S)-[3-[2-(DIMETHYLAMINO)ETHYL]-1H-INDOL-5-YLMETHYL]OXAZOLI
L10              STRUCTURE UPLOADED
L11            1 S L10 EXACT SAM

     FILE 'CAPLUS' ENTERED AT 16:19:44 ON 28 FEB 2008
L12          452 S L11

     FILE 'STNGUIDE' ENTERED AT 16:21:17 ON 28 FEB 2008

     FILE 'CAPLUS' ENTERED AT 16:59:38 ON 28 FEB 2008

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     FILE 'CAPLUS' ENTERED AT 16:59:57 ON 28 FEB 2008

     FILE 'STNGUIDE' ENTERED AT 16:59:59 ON 28 FEB 2008

     FILE 'CAPLUS' ENTERED AT 17:00:30 ON 28 FEB 2008

     FILE 'STNGUIDE' ENTERED AT 17:00:32 ON 28 FEB 2008

     FILE 'REGISTRY' ENTERED AT 17:02:43 ON 28 FEB 2008

=> s l12/prep
FIELD CODES CANNOT BE CHANGED HERE
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field code.  You can only add a field code to a term that has no field
code appended to it.

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COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                   0.46     185.42
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION
CA SUBSCRIBER PRICE 0.00 -20.00

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 28 Feb 2008 VOL 148 ISS 9
FILE LAST UPDATED: 27 Feb 2008 (20080227/ED)

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=> s l12 and preparation
1584849 PREPARATION
L13 26 L12 AND PREPARATION

=> d l13 ibib abs hitstr 16-26

L13 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:612094 CAPLUS
DOCUMENT NUMBER: 143:133403
TITLE: Amino-substituted diaryl[a,d]cycloheptene analogs as muscarinic agonists, their preparation and use in the treatment of neuropsychiatric disorders
INVENTOR(S): Ek, Fredrik; Olsson, Roger; Ohlsson, Joergen
PATENT ASSIGNEE(S): Acadia Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063254	A2	20050714	WO 2004-US43224	20041221
WO 2005063254	A3	20050915		

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

AU	2004308955	A1	20050714	AU 2004-308955	20041221
CA	2550735	A1	20050714	CA 2004-2550735	20041221
US	2005192268	A1	20050901	US 2004-19555	20041221
EP	1696931	A2	20060906	EP 2004-815318	20041221
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CN	1913900	A	20070214	CN 2004-80041356	20041221
BR	2004017749	A	20070410	BR 2004-17749	20041221
SG	133606	A1	20070730	SG 2007-4645	20041221
JP	2007534656	T	20071129	JP 2006-547344	20041221
US	2006194784	A1	20060831	US 2006-417441	20060503
US	2006199798	A1	20060907	US 2006-417859	20060503
MX	2006PA07244	A	20060818	MX 2006-PA7244	20060621
NO	2006003371	A	20060922	NO 2006-3371	20060720
IN	2006KN02041	A	20070518	IN 2006-KN2041	20060720
US	2007197502	A1	20070823	US 2007-733476	20070410
PRIORITY APPLN. INFO.:				US 2003-531927P	P 20031222
				US 2004-548090P	P 20040224
				US 2004-548604P	P 20040227
				US 2004-19555	A1 20041221
				WO 2004-US43224	W 20041221

OTHER SOURCE(S): MARPAT 143:133403
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a group of novel amino-substituted dibenzazepines I, benzazepines II and related clozapine analogs, which are agonists of muscarinic receptors. In compds. I and II, W is N, CH, O, or S; Y is N, O, or CH; R1, R6, and R7 are independently absent or selected from H, halo, amino, (un)substituted C1-20 alkyl, (un)substituted C3-8 cycloalkyl, (un)substituted aryl, etc., or R1R6 is -CH2CH2-; each R2, R3, R4, and R5 is independently selected from H, halo, (un)substituted C1-6 alkyl, (un)substituted C1-6 alkoxy, cyano, etc., or R2 and R3, or R3 and R4, or R4 and R5 taken together, along with the ring carbons to which they are attached, form a 5- or 6-membered cycloalkyl, heterocyclyl or heteroaryl ring, or a 6-membered aryl ring; Z is (un)substituted NH, O, S, or CH2; and R8 and R9 are independently selected from H, halo, (un)substituted C1-6 alkyl, (un)substituted C1-6 alkoxy, cyano, etc., or R8 and R9 taken together, along with the ring carbons to which they are attached, form a 5- or 6-membered cycloalkyl, heterocyclyl or heteroaryl ring, or a 6-membered aryl ring; including pharmaceutically acceptable salts, esters, amides or prodrugs of these, provided that compound I is not clozapine or N-desmethylclozapine. The invention also relates to the preparation of I, preparation of a combinatorial library of compds. I, pharmaceutical compns. containing compound I with a physiol. acceptable carrier, diluent, or excipient, optionally including a neuropsychiatric agent as well as to the use of the compns. for treating neuropsychiatric disorders. Substitution of 4-chloro-2-fluoronitrobenzene with 2-amino-5-chlorobenzoic acid followed by reduction of the nitro group, ring-closing coupling, and condensation with piperazine gave dibenzodiazepine III. The compds. of the invention express efficacy (eff) at muscarinic M1 receptors in the range of -11 to 92 and potency (expressed as pEC50) of 5.5 to 7.2; the compds. had eff at M2 receptors of -14 to 187 and pEC50 of 5.4 to 6.6.

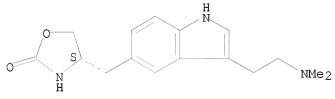
IT 139264-17-8, Zolmitriptan

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of amino-substituted diarylcycloheptene analogs as muscarinic agonists and methods of treatment of neuropsychiatric disorders)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2005:136493 CAPLUS
DOCUMENT NUMBER:	142:240471
TITLE:	Preparation of benzodiazepine derivatives as CGRP receptor antagonists
INVENTOR(S):	Burgey, Christopher S.; Stump, Craig A.; Williams, Theresa M.
PATENT ASSIGNEE(S):	Merck & Co., Inc., USA
SOURCE:	PCT Int. Appl., 79 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005013894	A2	20050217	WO 2004-US20209	20040624
WO 2005013894	A3	20060302		
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CA 2529196	A1	20050217	CA 2004-2529196	20040624
EP 1641423	A2	20060405	EP 2004-776997	20040624
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CN 1842526	A	20061004	CN 2004-80017996	20040624
JP 2007516183	T	20070621	JP 2006-517599	20040624
US 2006135511	A1	20060622	US 2005-562297	20051222

PRIORITY APPLN. INFO.:	US 2003-482854P	P	20030626
	WO 2004-US20209	W	20040624

OTHER SOURCE(S): CASREACT 142:240471; MARPAT 142:240471

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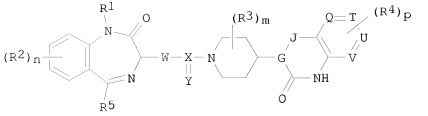

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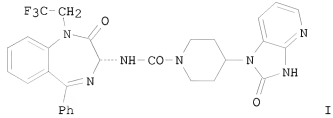


II

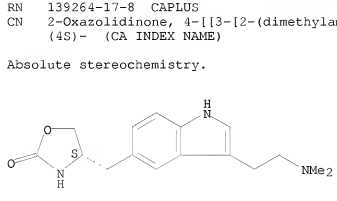
AB Benzodiazepine derivs. of formula I [R1 = H, alkyl, cycloalkyl, aryl, etc.; R2 = H, alkyl, cycloalkyl, aryl, etc.; R3 = H, alkyl, CO2H, alkoxycarbonyl; R4 = H, alkyl, cycloalkyl, aryl, etc.; R5 = H, alkyl, cycloalkyl, etc.; n = 1-4; m = 1-9; p = 1-4; W = O, (substituted) NH, (substituted) CH2; X = C, S; Y = O, NCONH2, etc.; G, J = N, NCH2, etc.; Q, T, U, V = CH, N; with provisos] are prepared as antagonists of CGRP receptors, and are useful in the treatment or prevention of diseases in which the CGRP is involved, such as headache, migraine and cluster headache. The invention is also directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which CGRP is involved. Thus, II was prepared in several steps. The prepared compds. had IC50 values < 50 μM against CGRP receptor.

IT 139264-17-8, Zolmitriptan
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic agent for co-administration with benzodiazepines)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:14369 CAPLUS

DOCUMENT NUMBER: 142:114110

TITLE: Preparation of benzodiazepine CGRP receptor antagonists

INVENTOR(S): Burgey, Christopher S.; Stump, Craig A.; Williams, Theresa M.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005000807	A2	20050106	WO 2004-US20206	20040624
WO 2005000807	A3	20060105		
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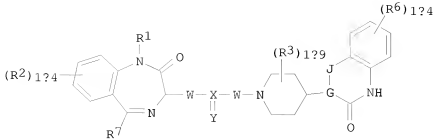
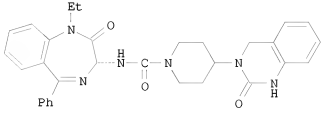
CA 2529227	A1	20050106	CA 2004-2529227	20040624
EP 1641781	A2	20060405	EP 2004-776996	20040624
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1812982	A	20060802	CN 2004-80017952	20040624
JP 2007516182	T	20070621	JP 2006-517597	20040624
US 2006148790	A1	20060706	US 2005-562298	20051222
US 7196079	B2	20070327		

PRIORITY APPLN. INFO.:	US 2003-482674P	P	20030626
	WO 2004-US20206	W	20040624

OTHER SOURCE(S): CASREACT 142:114110; MARPAT 142:114110
GI



I



II

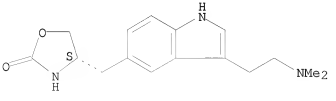
AB Title compds. I [R1 = H, alk(en/yn)yl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R7 = H, alk(en/yn)yl, etc.; W = O, amino, alkyl; X = C, S; Y = O, NCN, etc.; R3 = H, alkyl, CN, etc.; R6 = H, alkyl, cycloalkyl, etc.; G-J = N, N-alkyl, etc.] are prepared For instance, II is prepared from (R)-3-amino-1-ethyl-2-oxo-5-phenyl-2,3-dihydro-1H-1,4-benzodiazepine oxalate, p-nitrophenylchloroformate and 3-(piperidin-4-yl)-3,4-dihydroquinazolin-2(1H)-one hydrochloride. Compds. I exhibit affinity for the CGRP receptor with an IC50 of less than 50μM. I, alone or in combination with other agents, are useful for the treatment of diseases in which the CGRP is involved, such as headache, migraine and cluster headache.

IT 139264-17-8, Zolmitriptan
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination pharmaceutical; preparation of benzodiazepine CGRP receptor antagonists for headaches)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:606453 CAPLUS
DOCUMENT NUMBER: 141:140421
TITLE: Preparation of of (S)-4-(4-aminobenzyl)-2-

oxazolidinone

INVENTOR(S): Rao, Adibhatla Kali Satya Bhujanga; Nannapaneni, Venkaiah Chowdary; Amala, Kompella; Thungathurthy, Srinivasa Rao

PATENT ASSIGNEE(S): Natco Pharma Limited, India

SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063175	A1	20040729	WO 2003-IN341	20031021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003278593	A1	20040810	AU 2003-278593	20031021

PRIORITY APPLN. INFO.: IN 2003-MA29 A 20030113
WO 2003-IN341 W 20031021

OTHER SOURCE(S): CASREACT 141:140421

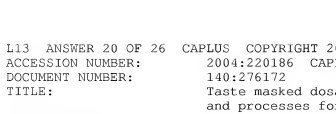
AB The invention disclosed in this application relates to an improved process for the preparation of the title compound (I) by preparation of 4-nitro-(S)-phenylalaninol by conventional methods. (ii) reducing the nitro compound, (iii) reacting the resulting 4-amino-(S)-phenylalaninol with dialkyl carbonate at a temperature in the range of 80-200 °C. to produce I. I is useful for the preparation of zolmitriptan which is an important drug for the treatment of migraine.

IT 139264-17-8P, Zolmitriptan
RL: PNU (Preparation, unclassified); PREP (Preparation)
(preparation of (S)-4-(4-aminobenzyl)-2-oxazolidinone)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:220186 CAPLUS

DOCUMENT NUMBER: 140:276172

TITLE: Taste masked dosage forms comprising acrylic polymers and processes for their preparation

INVENTOR(S): Murpani, Deepak; Arora, Vinod Kumar; Malik, Rajiv

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022037	A1	20040318	WO 2003-IB3779	20030904
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 194610	A1	20041120	IN 2002-DE903	20020904
IN 2002DE00903	A	20050121		
CA 2497176	A1	20040318	CA 2003-2497176	20030904
AU 2003259417	A1	20040329	AU 2003-259417	20030904
EP 1536774	A1	20050608	EP 2003-793976	20030904
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014036	A	20050712	BR 2003-14036	20030904
CN 1688292	A	20051026	CN 2003-824574	20030904
JP 2006502156	T	20060119	JP 2004-533743	20030904
IN 2005DN00822	A	20071130	IN 2005-DN822	20050302
US 2006039981	A1	20060223	US 2005-526844	20050727

PRIORITY APPLN. INFO.:
IN 2002-DE903 A 20020904
WO 2003-IB3779 W 20030904

AB The invention relates to taste masked dosage forms utilizing low amts. of taste masking polymer, and simple and economical processes for the preparation of the taste masked dosage forms. The taste-masked dosage form includes one or more drugs and one or more cationic polymers synthesized from dimethylaminoethyl methacrylate and neutral methacrylic acid esters. The wt/wt ratio of the drug to polymer is less than about one to two. Hard gelatin capsules contained topiramate 15, Eudragit EPO 26, Et cellulose (low viscosity) 3.7, titanium dioxide 1.0, nonpareil seeds 45.3, talc 8.9, iso-Pr alc./water (3:1) q.s. 100%.

IT 139264-17-8, Zolmitriptan
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(taste masked dosage forms comprising acrylic polymers and processes for their preparation)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

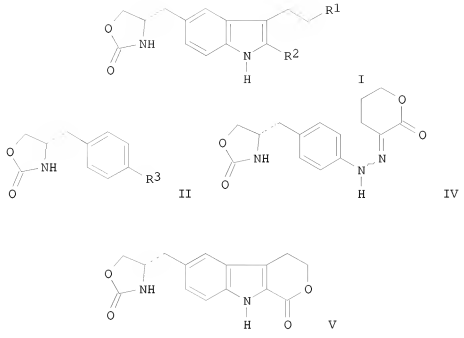
Absolute stereochemistry.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:143143 CAPLUS
DOCUMENT NUMBER: 140:181327
TITLE: Process for the preparation of zolmitriptan compounds via Fischer indole synthesis
INVENTOR(S): Dalmases Barjoan, Pere; Armengol Asparo, Montserrat
PATENT ASSIGNEE(S): Laboratorios Vita, S. A., Spain
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014901	A1	20040219	WO 2003-IB3536	20030805
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ES 2204302	A1	20040416	ES 2002-1873	20020807
ES 2204302	B2	20050301		
AU 2003250476	A1	20040225	AU 2003-250476	20030805
EP 1534705	A1	20050601	EP 2003-784403	20030805
EP 1534705	B1	20060726		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AT 334126	T	20060815	AT 2003-784403	20030805
ES 2270144	T3	20070401	ES 2003-784403	20030805
KR 753353	B1	20070830	KR 2005-702093	20050204
NO 2005001178	A	20050304	NO 2005-1178	20050304
US 2006025600	A1	20060202	US 2005-527127	20050308
PRIORITY APPLN. INFO.:			ES 2002-1873 A	20020807
			WO 2003-IB3536 W	20030805

OTHER SOURCE(S): CASREACT 140:181327; MARPAT 140:181327
GI



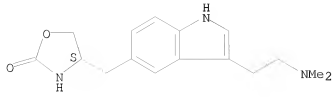
AB The invention relates to zolmitriptan I (R1 = NMe2, R2 = H) and a pharmaceutically acceptable salt thereof prepared from (aminobenzyl)oxazolidinone II•HCl (R3 = NH2) via (a) preparation of hydrazine III (II, R3 = NHNH2) and subsequent in situ reaction of the hydrazine III with α-keto-δ-valerolactone, to give the hydrazone IV; (b) submission of the hydrazone IV to the Fischer indole synthesis to give the pyranoindolone of formula V; (c) transesterification of the pyranoindolone V to provide indole VI (I, R1 = OH, R2 = -CO2-alkyl, alkyl = C1-C4); (d) conversion of the hydroxyl group of the compound VI into dimethylamino to give the indolecarboxylate VII (I, R1 = NMe2, R2 = -CO2-alkyl, alkyl = C1-C4); (e) saponification of the VII to provide indolecarboxylic acid VIII (I, R1 = NMe2, R2 = CO2H); and (f) decarboxylation of VIII. Prior methods for the preparation of zolmitriptan compds. are either not applicable at industrial scale or require a stage of column purification of the end product, and may also use toxic reagents such as tin chloride for preparing the hydrazine, while having an overall yield of only 18%. For instance, zolmitriptan I (R1 = NMe2, R2 = H) was prepared via 6 steps with 87-95% yield for each step (alkyl is ethyl).

IT 139264-17-8P, Zolmitriptan
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of zolmitriptan from [(pyranilidenhydrazino)benzyl]oxazolidinone via Fischer indole synthesis, transesterification, amination of hydroxy, saponification, and decarboxylation)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

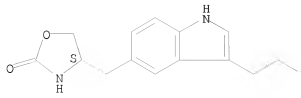
L13 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:777120 CAPLUS
DOCUMENT NUMBER: 139:265812
TITLE: Process for the preparation of rapidly disintegrating tablet
INVENTOR(S): Lee, Chang-Hyun; Woo, Jong-Soo; Chang, Hee-Chul
PATENT ASSIGNEE(S): Hanmi Pharm. Co., Ltd., S. Korea
SOURCE: U.S. Pat. Appl. Publ., 8 pp., Cont.-in-part of U.S. Pat. Appl. 2002 1,617.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003185886	A1	20031002	US 2003-391103	20030317
US 2002001617	A1	20020103	US 2001-865264	20010525
PRIORITY APPLN. INFO.:			KR 2000-28667	A 20000526
			US 2001-865264	A2 20010525

AB The present invention relates to a process for the preparation of a tablet having an enhanced strength as well as a high disintegrating rate in the oral cavity, which comprises: spray-drying an active ingredient to obtain a spray-dried particulate containing the active ingredient; mixing the spray-dried particulate, a sublimable substance suitable for oral administration, a poly(ethylene glycol), and a pharmaceutically acceptable additive; tableting the mixture; and drying the resulting tablet to sublime the sublimable substance until the tablet becomes porous. For example, ondansetron was dissolved in methanol and the solution was subjected to spray drying to obtain a particulate material, then the particulate was mixed with menthol, mannitol, xylitol, polyethylene glycol, stevioside, PVP, Mg stearate, and silica. The resulting mixture was tableted and dried at 45° for 24 h to sublime menthol to obtain a rapidly disintegrating tablet.

IT 139264-17-8, Zolmitriptan
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(spray-drying and subliming ingredients for manufacturing rapidly disintegrating buccal tablets)
RN 139264-17-8 CAPLUS
CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:964146 CAPLUS
DOCUMENT NUMBER: 138:39187
TITLE: Preparation of piperidinecarboxylates and related compounds as NMDA NR2B receptor antagonists for the treatment or prevention of migraine.
INVENTOR(S): Allen, Christopher; Koblan, Ken S.; Sleeth, Timothy
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100352	A2	20021219	WO 2002-US21069	20020607
WO 2002100352	A3	20030327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2449249	A1	20021219	CA 2002-2449249	20020607
AU 2002346050	A1	20021223	AU 2002-346050	20020607
EP 1399160	A2	20040324	EP 2002-744807	20020607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004537526	T	20041216	JP 2003-503178	20020607
US 2004204341	A1	20041014	US 2003-479923	20031205
PRIORITY APPLN. INFO.:			US 2001-297672P P	20010612
			WO 2002-US21069 W	20020607

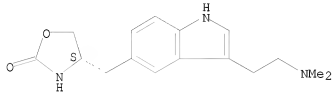
AB A method for treating or preventing migraines comprises administration of an NR2B receptor antagonist (no data). The invention also encompasses the combination of an NR2B antagonist with a cyclooxygenase-2 selective inhibitor, a calcitonin gene-related peptide receptor (CGRP) ligand, a leukotriene receptor antagonist, or a 5HT1B/1D agonist for the treatment or prevention of migraines. Thus, 4-hydroxybenzoic acid, 1-hydroxybenzotriazole hydrate, benzyl 4-(aminomethyl)piperidine-1-carboxylate (preparation given), and Et3N in DMF were treated with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and the mixture allowed to stir at room temperature for 18 h to give 4-[(4-hydroxybenzoylamino)methyl]piperidine-1-carboxylic acid benzyl ester.
IT 139264-17-8, Zolmitriptan
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(coadministration; preparation of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:556104 CAPLUS

DOCUMENT NUMBER: 137:109489

TITLE: Compositions comprising a polypeptide and an active agent

INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randal J.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 34 pp., which which which which which which which which which which which which which w
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 27

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099013	A1	20020725	US 2001-933708	20010822
US 2004087483	A1	20040506	US 2002-136433	20020502
US 7163918	B2	20070116		
US 2004063628	A1	20040401	US 2002-156527	20020529
US 7060708	B2	20060613		
IN 2003KN00775	A	20050204	IN 2003-KN775	20030613
US 2007232529	A1	20071004	US 2004-923088	20040823
US 2006014697	A1	20060119	US 2005-89056	20050325
US 2007060500	A1	20070315	US 2006-392878	20060330
AU 2007203485	A1	20070816	AU 2007-203485	20070726

PRIORITY APPLN. INFO.:

US 2000-247556P	P	20001114
US 2000-247558P	P	20001114
US 2000-247559P	P	20001114
US 2000-247560P	P	20001114
US 2000-247561P	P	20001114
US 2000-247594P	P	20001114
US 2000-247595P	P	20001114
US 2000-247606P	P	20001114
US 2000-247607P	P	20001114
US 2000-247608P	P	20001114
US 2000-247609P	P	20001114
US 2000-247610P	P	20001114
US 2000-247611P	P	20001114
US 2000-247612P	P	20001114

US 2000-247620P P 20001114
US 2000-247621P P 20001114
US 2000-247634P P 20001114
US 2000-247635P P 20001114
US 2000-247698P P 20001114
US 2000-247699P P 20001114
US 2000-247700P P 20001114
US 2000-247701P P 20001114
US 2000-247702P P 20001114
US 2000-247797P P 20001114
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US 2000-247807P P 20001114
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US 2000-247927P P 20001114
US 2000-247928P P 20001114
US 2000-247929P P 20001114
US 2000-247930P P 20001114
US 1999-265415 B2 19990310
US 1999-411238 B2 19991004
WO 2000-US5693 A 20000306
US 2000-642820 A2 20000822
US 2000-247684P P 20001114
US 2000-248527P P 20001116
US 2000-248528P P 20001116
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US 2000-248531P P 20001116
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US 2000-248533P P 20001116
US 2000-248535P P 20001116
US 2000-248536P P 20001116
US 2000-248537P P 20001116
US 2000-248538P P 20001116
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US	2001-986426	A2	20011108
AU	2001-298033	A3	20011114
US	2001-987458	B2	20011114
WO	2001-US43089	B2	20011114
US	2001-988034	B2	20011116
US	2001-988071	B2	20011116
WO	2001-US43115	B2	20011116
WO	2001-US43117	B2	20011116
US	2002-358368P	P	20020222
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US	2002-362082P	P	20020307
US	2002-366258P	P	20020322
US	2002-156527	A2	20020529
WO	2003-US5524	A2	20030224
WO	2003-US5525	A2	20030224
US	2003-507012P	P	20030930
US	2003-727565	A2	20031205
US	2004-567800P	P	20040505
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US	2004-568011P	P	20040505
US	2004-923088	A2	20040823
US	2004-923257	A2	20040823
US	2004-953110	A2	20040930
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US	2004-953116	A2	20040930
US	2004-953119	A2	20040930
US	2004-955006	A2	20040930
WO	2004-US32131	A2	20040930

AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an

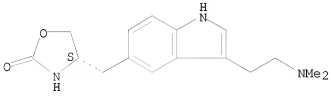
active agent to a patient by administering the composition to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBut)NCA and cephalexin hydrochloride.

IT 139264-17-8, Zolmitriptan
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. comprising a polypeptide and an active agent)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2002:332011 CAPLUS
DOCUMENT NUMBER:	136:355482
TITLE:	Compositions comprising a polypeptide and an active agent
INVENTOR(S):	Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randall J.
PATENT ASSIGNEE(S):	New River Pharmaceuticals, Inc., USA
SOURCE:	PCT Int. Appl., 98 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	27
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002034237	A1	20020502	WO 2001-US26142	20010822
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6716452	B1	20040406	US 2000-642820	20000822
CA 2420590	A1	20020502	CA 2001-2420590	20010822
AU 2001086599	A	20020506	AU 2001-86599	20010822
EP 1311242	A1	20030521	EP 2001-966056	20010822
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004523480	T	20040805	JP 2002-537291	20010822
AU 2001286599	B2	20070621	AU 2001-286599	20010822
IN 2003KN00329	A	20041009	IN 2003-KN329	20030320
AU 2007203485	A1	20070816	AU 2007-203485	20070726
KR 2008006024	A	20080115	KR 2007-730727	20071228

PRIORITY APPLN. INFO.:	US 2000-642820	A	20000822
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	US 2000-247614P	P	20001114
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	US 2000-247982P	P	20001114

	US 2000-248535P	P	20001116
	WO 2001-US26142	W	20010822
	AU 2001-298033	A3	20011114
	KR 2003-702643	A3	20030222

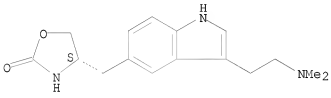
AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the composition to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBut)NCA and cephalexin hydrochloride.

IT 139264-17-8, Zolmitriptan
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. comprising a polypeptide and an active agent)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	1992:174136 CAPLUS
DOCUMENT NUMBER:	116:174136
TITLE:	Preparation of [(oxazolidinonylalkyl)indolyl]ethylamines and related compounds as serotonin agonists
INVENTOR(S):	Robertson, Alan Duncan; Hill, Alan Peter; Glen, Robert Charles; Martin, Graeme Richard
PATENT ASSIGNEE(S):	Wellcome Foundation Ltd., UK
SOURCE:	PCT Int. Appl., 75 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9118897	A1	19911212	WO 1991-GB908	19910606
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CA 2064815	C	19991116		
AU 9179570	A	19911231	AU 1991-79570	19910606
AU 646871	B2	19940310		
EP 486666	A1	19920527	EP 1991-911486	19910606
EP 486666	B1	19970813		
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ZA 9104340	A	19930224	ZA 1991-4340	19910606
HU 62289	A2	19930428	HU 1992-384	19910606

HU 219974	B	20011028			
JP 05502679	T	19930513	JP 1991-510103		19910606
JP 2738461	B2	19980408			
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PL 166800	B1	19950630	PL 1991-305192		19910606
IL 98392	A	19960119	IL 1991-98392		19910606
IL 114690	A	19970218	IL 1991-114690		19910606
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CA 2282890	C	20010731	CA 1991-2282890		19910606
AT 204275	T	20010915	AT 1994-115107		19910606
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FI 105686	B1	20000929	FI 1992-503		19920206
US 5399574	A	19950321	US 1992-838233		19920303
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			WO 1991-GB908	A	19910606
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OTHER SOURCE(S): CASREACT 116:174136; MARPAT 116:174136

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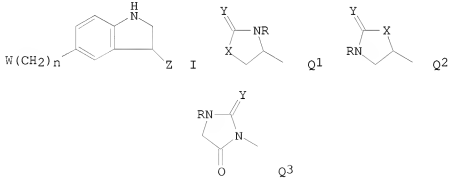


AB Title compds. I [n = 0-3; W = Q1-Q3; R, R1, R2 = H, C1-4 alkyl; X = O, S,

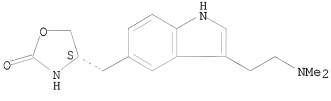
NH, CH2; Y = O, S; Z = CH2CH2NR1R2, Q; Q = 4-piperidyl, 1,2,3,6-tetrahydropyridin-4-yl, 1-C1-4 alkyl-4-piperidyl, 1-C1-4 alkyl-1,2,3,6-tetrahydropyridin-4-yl] were prepared as 5-HT1-like receptor agonists for the treatment of migraines. Thus S-4-(4-nitrobenzyl)-1,3-oxazolidin-2-one (preparation given) was hydrogenated over Pd/C and the product formed was diazotized in the presence of SnCl2 to give the 4-(4-hydrazinobenzyl) derivative This was cyclocondensed with Cl(CH2)3CH(OMe)2 and the resulting (indolyl)ethylamine derivative was di-N-methylated by H2CO/NaCNBH3 to give (S)-I [W = Q1; R = H, X, Y = O; n = 1; Z = CH2CH2NMe2] (II). II had p[A50] of 7.0 for mediating smooth muscle contraction where [A50] is the concentration necessary for half-maximal effect. II.HCl orally at 50 mg/kg/day for 15 days was not toxic to cynomolgus monkeys. Formulations of I were prepared

IT 139264-17-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serotonin agonist)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



=> FIL STNGUIDE

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	63.99	249.41
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-8.80	-28.80

FILE 'STNGUIDE' ENTERED AT 17:05:23 ON 28 FEB 2008

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb 22, 2008 (20080222/UP).

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